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     ANSWER 1 OF 2 USPATFULL on STN
       2006:160063 USPATFULL
AN
       Method for inhibition of necrosis induced by neurotrophin
TI
IN
       Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
ΡI
       US 2006135600
                          Al 20060622
       US 2004-542936
                           Al 20040120 (10)
ΑI
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                               20040120
                               20050719 PCT 371 date
PRAI
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LN.CNT 919
INCL
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       ANSWER 2 OF 2
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       2004064844 PCTFULL ED 20040816 EW 200432
AN
TIEN
       METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR
       METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-qu.
TN
       Suwon-si, Gveonggi-do 442-810, KR [KR, KR];
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingve-dong, Paldal-gu.
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR];
       WON, Seok Joon, #3-1303 Sunkyung 1-cha Apt., Inqye-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-070, KR [KR, KR];
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do 442-736, KR [KR, KR]
PA
       NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
       Gyeonggi-do 442-821, KR [KR, KR], for all designates States except US;
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-810, KR [KR, KR], for US only;
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR], for US only;
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-070, KR [KR, KR], for US only;
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do 442-736, KR [KR, KR]
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       LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-qu.
       Seoul 137-876, KR
LAF
       Korean
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             8 L4 AND (NEUROTROPHIC OR NEUROTROPHIN# OR NGF OR NT##)
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     ANSWER 1 OF 8 USPATFULL on STN
AN
       2007:341133 USPATFULL
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       Compounds and compositions for treating neuronal death or neurological
       dysfunction
ΤN
       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
       Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
       Ko, Sun Young, Suwon-si, KOREA, REPUBLIC OF
       Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
       Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
PA
       Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF,
       443-821 (non-U.S. corporation)
       US 20070298129
                          Al 20071227
ΔΤ
       US 2007-804588
                          A1 20070518 (11)
RLI
       Continuation-in-part of Ser. No. US 2006-503379, filed on 11 Aug 2006,
       ABANDONED
PRAT
       KR 2005-78028
                          20050824
       US 2006-780245P
                          20060308 (60)
       Utility
       APPLICATION
LN.CNT 2465
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       NCLM: 424/722.000
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              A61K0031~196 [I.A]: A61P0025-00 [I.C]: A61P0025-00 [I.A]:
              A61P0025-16 [I,A]; A61P0025-28 [I,A]; C07C0229-00 [I,C];
              C07C0229-56 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 2 OF 8 USPATFULL on STN
       2007:56619 USPATFULL
AN
       Combination of cell necrosis inhibitor and lithium for treating neuronal
       death or neurological dysfunction
       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
TN
       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
       Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
PA
       Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF
       (non-U.S. corporation)
PΤ
       US 20070049565
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       US 2006-503379
                          Al 20060811 (11)
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DT
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       NCLS: 514/534.000; 514/567.000; 514/649.000
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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AN
       2006:160063 USPATFULL
TI
       Method for inhibition of necrosis induced by neurotrophin
TN
       Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
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       US 2006135600
                          A1 20060622
ΑI
       US 2004-542936
                              20040120 (10)
                          A1
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                               20040120
                               20050719 PCT 371 date
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PRAI
      KR 2003-3765
DT
      Utility
PS
      APPLICATION
LN.CNT 919
INCL INCLM: 514/458.000
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              A61K0031-60 [I.A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
     ANSWER 4 OF 8 USPATFULL on STN
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AN
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ΥT
       Nucleotide sequence of the haemophilus influenzae Rd genome, fragments
       thereof, and uses thereof
TN
       Fleischmann, Robert D., Gaithersburg, MD, UNITED STATES
       Adams, Mark D., Cleveland Heights, OH, UNITED STATES
       White, Owen, Rockville, MD, UNITED STATES
       Smith, Hamilton O., Reisterstown, MD, UNITED STATES
       Venter, J. Craig, Queenstown, MD, UNITED STATES
       Human Genome Sciences, Inc., Rockville, MD, UNITED STATES (U.S.
       corporation)
       Johns Hopkins University, Baltimore, MD, UNITED STATES (U.S.
       corporation)
PТ
       US 20050131222
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ΑI
       US 2004-981687
                          A1 20041105 (10)
RLI
       Division of Ser. No. US 2002-158856, filed on 3 Jun 2002, PENDING
       Division of Ser. No. US 2000-557884, filed on 25 Apr 2000, GRANTED, Pat.
       No. US 6506581 Continuation of Ser. No. US 1995-476102, filed on 7 Jun
       1995, GRANTED, Pat. No. US 6355450 Continuation-in-part of Ser. No. US
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AN
       96:3497 USPATFULL
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       Multinuclear complexes for x-ray imaging
IN
       Almen, Torsten, Malmo, Sweden
       Berg, Arne, Blommenholm, Norway
       Chang, C. Allen, Palo Alto, CA, United States
       Droege, Michael, Livermore, CA, United States
       Dugstad, Harald, Oslo, Norway
       Fellman, Jere D., Livermore, CA, United States
       Kim, Sook-Hui, Mountain View, CA, United States
       Klaveness, Jo, Oslo, Norway
       Rocklage, Scott M., Los Gatos, CA, United States
       Rongved, Pal, Hellvik, Norway
       Segal, Brent, Sunnyvale, CA, United States
       Watson, Alan D., Campbell, CA, United States
PΑ
       Nycomed Salutar Inc., Sunnyvale, CA, United States (U.S. corporation)
PΙ
       US 5482699
                               19960109
       WO 9217215 19921015
       US 1993-122461
AI
                               19930924 (8)
       WO 1992-EP698
                               19920327
                               19930924 PCT 371 date
                               19931124 PCT 102(e) date
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      GB 1991-6579
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      Utility
FS
      Granted
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LN.CNT 2375
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       INCLM: 424/009.420
       INCLS: 534/015.000: 534/016.000; 556/008.000; 556/031.000; 556/061.000;
              540/474.000: 514/836.000
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       NCLS:
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
1.5
       ANSWER 6 OF 8
                        PCTFULL
                                  COPYRIGHT 2008 Univentio on STN
AN
       2004064844 PCTFULL ED 20040816 EW 200432
TIEN
       METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR
       METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-810, KR [KR, KR];
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR];
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
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       NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
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       Gyeongqi-do 442-821, KR [KR, KR], for all designates States except US;
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
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       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR], for US only;
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
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       2002091550 PCTFULL ED 20021121 EW 200246
AN
       BIPOLAR MACHINES A NEW CLASS OF HOMOPOLAR MOTOR/GENERATOR
TIEN
       MACHINES BIPOLAIRES: NOUVELLE CLASSE DE MOTEUR/GENERATEUR HOMOPOLAIRE
TIPP
       WILSDORF, Doris, Apartment 278, 2600 Barracks Road, Charlottsville, VA
       22901, US [US, US]
PA
       WILSDORF, Doris, Apartment 278, 2600 Barracks Road, Charlottsville, VA
       22901, US [US, US]
       HAYNES, Michael, N., LeClair Ryan, 8th Floor, 123 East Main Street,
AG
       Charlottesville, VA 22901, US
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                               20010820
      US 2001-60/329,550
                               20011017
       WO 2002-US14160
                          A 20020506
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       ANSWER 8 OF 8
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AN
       1994010968 PCTFULL ED 20020513
TIEN
       COMPOSITIONS AND METHODS FOR TEMPORARILY COLORING HAIR USING SOLUBILIZED
       MELANIN
TIFR
       COMPOSITIONS ET PROCEDES PERMETTANT DE COLORER TEMPORAIREMENT LES
       CHEVEUX AVEC DE LA MELANINE SOLUBILISEE
TN
       WOLFRAM, Lessek, J.;
       WENKE, Gottfried
DΔ
       BRISTOL-MYERS SQUIBB COMPANY;
       WOLFRAM, Lessek, J.;
       WENKE, Gottfried
LA
       English
DT
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PT
       WO 9410968
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=> d 8 hit
1.5
      ANSWER 8 OF 8
                       PCTFULL
                                 COPYRIGHT 2008 Univentio on STN
```

DETD While solubilized melanin as obtained above may be isolated by acidification of the aqueous reaction medium, it is not preferred to do so as there is some evidence to suggest that solublized melanin in solid form ages and is

less suitable in the preparation of the compositions of the present invention. Accordingly, the soluble melanin is preferably used in the form of dilute aqueous solution having a pH above 4, pref erably from about 6 to about 10 I most preferably from about 7 to about 8. Freshly a,zom v I sntli, *BPTxoaad usboapAig pup UTueTBm usomqaq UOT40VSa Blr4 90 W84xs Pup A4T29ABs atM uodn bUTpuedep P9T.1'e,& ag uez OTD-C-4ae m-ea; TOM OtM tMm 90U'ePa000le UT POUT, e-4go UTUVTBM PBZTTTqnTOS BtU4 9:0 29;0RJ'e'q0 OTUOTUR OtT-4 'PaRbB2 STg4 UI e9ThoSTOM UTUVT9M OXT4 UO luesead sabaV90 OTUOTUP 90 4U84X9 8XM Je9oT JTVT2949M OTUOT420 OtP tPTm s9x8Tdmoo 4T q0TtjA 04 sezffiep aiM uodn puadep OsTR PTnom UOT4Tsodmoo OtV4 UT quesead UTUPT9m PBZTTTqnTOS qo junoum gg4 'UOT4UBAUT Wg4 JO AaOBtM So4UP3TTddP uo poses *20TOD 2TVq PU9 P9aTS9p StM PUP lbuTeAp o4 aoTad jamnsuon atr4 go aOTOD aTR'q TRT4TUT 89Z Opesn JgTaaRD atM se gons saolorg but 2 AJV.A TTTA UOTd4U8, AUT STtM I JO UOT4Tsodmoz . P2000 844 UT p9aTnboa UTUVT9M POZTTTc[nTOs go qunoum aql oTqTa942M DTUOT4r3 sTqTsaadSTP a94Rm 20 9Tc[nTOs za4Rm OT44 tMm xsTdmoo le bUTM.XOJ JO sTaledeo UTuvT9m PBZTTTc[nTOs *'a*T 'aTnoOTOm UTuVT9m OW4 04 29402aggO OTUOTUP up s4ardMT 42'q4 POtPom Aue Aq P9UTrqqo aq ARM UOT4UBAUT ST114 44Tm asn aoi sTctvlTns ST IVT44 uTuRTsm POZTTTcrnTOS atil *4USMbTd 9TcrnTOsuT 814-4 0-4 S29;92 aUTuvT9mu M.184 9lq4 UT928ti pasn sv 6TROT-4TaD lOu ST UTuvT9m atr4 go aoanos aul *SUOT4TPuOD POTTOaquOD a9pun edop go UOT49PTxO 9g4 Ag 'aeTn3T4avd UT 'UMOUX 9aP UTurTam bUTXVM se4nox DT-49tt4UAS snOT.1VA ATxeTTMTS *99RUTS02A4 pup edop 90 UOT4029a Aq apem aq URD UTurTsm 0Tj944UAS0Tq -4ale 944 UT umOuX TTBA BV *sTqRTTRAP ATTRT029MMOO ST (UTuPT9m VTdas) UTuPT9m PTnbs '-'PTn0T4avd ul *sTPMTUV 29q40 PUP 9UTAoq laqvurTad lurmnq bUTPnTOUT issoanos Tvan4eu JO A49TaVA R M02; P94RTOST ag ARM SUTurTsm Isngl *uTuPTOm OTggg4UASOTg ao 'P9ZTS9tMUAS ATTROTUM40 'bUTaanoDO-ATTrangvu moag POUTV490 ag ARM UOT4UBAUT ST114 UT Tn:asn ST -42tp UTuVT9m PBZTTTgnTOs B'gl osssoons poob ti4TA pasn ag OsTP ARM =09 PTTOS UT UTUVT9M PSZTTTgnTOS pamdead 89601/t,6 OM soluble material will be obtained with increasingly severe reaction conditions or longer duration of contact with peroxide. A tinctorially effective amount of solubilized melanin should be used. In general, however, the amount of solubilized melanin required is at least about 0.1%, typically from about 0.1% up to its solubility limit in the composition, but generally less

than about 5,0%, and preferably from about 0.2 to about 3.0%, all concentrations being on a weight basis.

^{=&}gt; s 2-hydroxy-5-(2,3,5,6-tetrafluoro-4-trifluoromethyl-benzylamino)-benzoic acid# MISSING OPERATOR 'HYDROXY-5-(2,3,5,6-TE' The search profile that was entered contains terms or

nested terms that are not separated by a logical operator.

^{=&}gt; s 2-hydroxy-5-2,3,5,6-tetrafluoro-4-trifluoromethyl-benzylamino-benzoic acid# L6 11 2-HYDROXY-5-2,3,5,6-TETRAFLUORO-4-TRIFLUOROMETHYL-BENZYLAMINO-BE NZOIC ACID#

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AN
       2007:341133 USPATFULL
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       Compounds and compositions for treating neuronal death or neurological
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       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
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       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
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       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
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       Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
       Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
PA
       Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF,
       443-821 (non-U.S. corporation)
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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       Combination of cell necrosis inhibitor and lithium for treating neuronal
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       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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       Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
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(non-U.S. corporation)

Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF

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Compounds and compositions for treating neuronal death or neurological
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ΤN
       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
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       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
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       Park, Sun Mi, Seoul, KOREA, REPUBLIC OF
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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       Fang, Lawrence Y., Foster City, CA, UNITED STATES
       Gailunas, Andrea, Burlingame, CA, UNITED STATES
       Hom, Roy, San Francisco, CA, UNITED STATES
       Jagodzinska, Barbara, Redwood City, CA, UNITED STATES
       Maillard, Michel, Redwood City, CA, UNITED STATES
       John, Varghese, San Francisco, CA, UNITED STATES
       Pulley, Shon R., Nobelsville, IN, UNITED STATES
       Beck, James P., Zionsville, IN, UNITED STATES
       TenBrink, Ruth E., Labadie, MO, UNITED STATES
       Freskos, John N., Clayton, MO, UNITED STATES
PA
       Elan Pharmaceuticals and pharmacia & Upjohn Company LLC (U.S.
       corporation)
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AN
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       Combination of cell necrosis inhibitor and lithium for treating neuronal
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       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L11 ANSWER 4 OF 8 USPATFULL on STN
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TI
       Method for inhibition of necrosis induced by neurotrophin
IN
       Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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       2004064844 PCTFULL ED 20040816 EW 200432
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       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-810, KR [KR, KR];
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR];
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt , Salgugol, Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
PΑ
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       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
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       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR], for US only;
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       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do 442-736, KR [KR, KR]
       LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu,
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       US 2001-279779P
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       US 2001-295589P
                          20010604 (60)
DT
       Utility
ES
       APPLICATION
LN.CNT 21437
INCL
       INCLM: 514/211.150
       INCLS: 514/396.000; 514/423.000; 514/357.000; 514/438.000; 514/616.000
       NCLM: 514/616.000; 514/211.150
NCT.
       NCLS:
              514/617.000; 564/156.000; 564/185.000; 514/357.000; 514/396.000;
              514/423.000: 514/438.000
       [7]
IC
       ICM
              A61K031-553
       ICS
              A61K031-554: A01N043-40
       IPCI
              A61K0031-553 [ICM.7]: A61K0031-554 [ICS.7]: A01N0043-40 [ICS.7]:
              A01N0043-34 [ICS.7.C*]
       IPCI-2 A61K0031-166 [I,A]; C07D0233-78 [I,A]; C07D0233-00 [I,C*]
       IPCR
              A61K0031-166 [I,C]; A61K0031-166 [I,A]; C07C0215-00 [I,C*];
              C07C0215-28 [I,A]; C07C0233-00 [I,C*]; C07C0233-78 [I,A];
              C07C0235-00 [I,C*]; C07C0235-84 [I,A]; C07C0239-00 [I,C*];
              C07C0239-20 [I,A]; C07C0243-00 [I,C*]; C07C0243-22 [I,A];
              C07C0243-28 [I,A]; C07C0271-00 [I,C*]; C07C0271-16 [I,A];
              C07C0271-18 [I,A]; C07C0275-00 [I,C*]; C07C0275-24 [I,A];
              C07C0311-00 [I,C*]; C07C0311-03 [I,A]; C07C0311-08 [I,A];
              C07C0311-13 [I,A]; C07C0311-16 [I,A]; C07C0311-37 [I,A];
              C07C0317-00 [I,C*]; C07C0317-44 [I,A]; C07C0323-00 [I,C*];
              C07C0323-60 [I,A]; C07D0211-00 [I,C*]; C07D0211-60 [I,A];
              C07D0215-00 [I,C*]; C07D0215-12 [I,A]; C07D0233-00 [I,C];
              C07D0233-78 [I,A]; C07D0277-00 [I,C*]; C07D0277-04 [I,A];
              C07D0295-00 [I,C*]; C07D0295-13 [I,A]; C07D0295-26 [I,A];
              C07D0303-00 [I,C*]; C07D0303-36 [I,A]; C07D0307-00 [I,C*];
              C07D0307-52 [I,A]; C07D0307-54 [I,A]; C07D0333-00 [I,C*];
              C07D0333-24 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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AN
       2002002512 PCTFULL ED 20020814
       COMPOUNDS TO TREAT ALZHEIMER'S DISEASE
TIEN
       COMPOSES UTILES POUR TRAITER LA MALADIE D'ALZHEIMER
TIFR
IN
       MAILLAIRD, Michel:
       HOM, Court;
       GAILUNAS, Andrea,
       JAGODZINSKA, Barbara;
       FANG, Lawrence, Y.;
       JOHN, Varghese;
       FRESKOS, John, N.;
       PULLEY, Shon, R.;
       BECK, James, P.;
       TENBRINK, Ruth, E.
       ELAN PHARMACEUTICALS, INC.;
       PHARMACIA &UPJOHN COMPANY
DT
       Patent
PI
       WO 2002002512
                            A2 20020110
DS
                     AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU
                     CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN
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                     TZ UA UG UZ VN YU ZA ZW GH GM KE LS MW M2 SD SL SZ TZ UG
                     ZW AM AZ BY KG KZ MD RU TJ TM AT BE CH CY DE DK ES FI FR
                     GB GR IE IT LU MC NL PT SE TR BF BJ CF CG CI CM GA GN GW
                     ML MR NE SN TD TG
PRAI
       US 2000-60/215.323
                               20000630
       US 2000-60/252,736
                               20001122
       US 2000-60/255,956
                               20001215
       US 2001-60/268.497
                              20010213
                              20010329
       US 2001-60/279,779
       US 2001-60/295,589
                              20010604
       WO 2001-US21012 A 20010629
ΑI
       C07C237-00
ICM
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L11 ANSWER 5 OF 8 USPATFULL on STN

[0083] Protein Crosslinking -- A vast literature, and a wide variety of methods of crosslinking proteins intro- and intermolecularly are also known with varying lengths of spacer arms, and with and without fluorescent and functional groups for purification. These methods include the use of heterobifunctional crosslinkers (e.g. succinimidyl acetylthioacetate (SATA), trans-4-(maleimidylmethyl) cyclohexane-1-carboxylate (SMCC), and succinimidyl 3-(2pyridyldithio)propionate (SPDP)), homobifunctional crosslinkers (e.g. succinimidyl 3-(2-pyridyldithio)propionate), photoreactive crosslinkers (e.g. 4-azido-2,3,5,6-tetrafluorobenzoic acid, STP ester, sodium salt (ATFB, STP ester), 4-azido-2,3,5,6-tetrafluorobenzoic acid, succinimidyl ester (ATPB, SE), 4-azido-2,3,5,6-tetrafluorobenzyl amine, hydrochloride, benzophenone-4-isothiocyanate, benzophenone-4-maleimide, 4-benzoylbenzoic acid, succinimidyl ester, N-((2-pyridyldithio)ethyl)-4azidosalicylamıde (PEAS; AET), thiol reactive crosslınkers (e.g. maleimides and iodoacetamides), amine reactive crosslinkers (e.g. glutaraldyde, bis(imido esters), bis(succinimidyl esters), diisocyanates and diacid chlorides). Because thiol groups are highly reactive and relatively rare in most proteins by comparison to amine groups, thiol-reactive crosslinking is generally preferred. In cases where thiol groups are missing at the appropriate sites in the structures of polypeptides, proteins, and protein complexes, they can be introduced using one of several thiolation methods. For examples, Succinimidyl trans-4-(maleimidylmethyl)cyclohexane-1-carboxylate can be used to introduce thiol-reactive groups at amine sites.

DETD [0109] Therapeutic Products -- Therapeutic protein-base products to which the instant invention can be applied may, for example, act as cytokines that trigger/induce biochemical signaling cascades, and cellular and physiological responses, by binding to, and activating, receptors on the surface of targeted cells. Non-limiting examples of cytokines include any of the interferons, any of the interleukins, members of the NFG/TGF family (e.g. NGF, TGF, BDNF, NT-3, NT-4/5, NT-6, TRAIL, OPG, and Fast), any of the colony stimulating factors (e.g. M-CSF, G-CSF, and GM-CSF), any of the PGF family, any members of the insulin family, EGP and related cytokines, VEGF, and PDGF and related cytokines. On the other hand, therapeutic, protein-based products to which the instant invention can be applied may act as cytokine traps, which are protein constructs that include the extracellular domains of cytokine receptors, and that bind to, sequester, and inactivate endogenous cytokines. Non-limiting examples of cytokine traps include the IL-1, IL-4/13, and

the VEGF Traps by Regeneron Inc. [0229] Cytokines fall into only a few structural classifications. The DETD family of Short-chain 4 Alpha-helical Bundles includes but is not limited to, colony stimulating factors M-CSF and GM-CSF, IL2, IL3, IL4, IL5, IL7, IL9, IL13, SCF, and IFN-y, and the family of Long-chain 4 Alpha-helical Bundles includes, but is not limited to erythropoietin, IFN-α, IFN-β, growth hormone, G-CSF, IL6, IL10, IL11, IL12 alpha, PRL, CNTF, LIF, OSM, Within the family of Long-chain Beta-Sheets, Jelly Rolls -- that generally trimerize, bind three receptor subunits, and are often cell surface bound--include, but are not limited to, TNA a, and -b, 4-1BB-L, APRIL, BAFF, CD27L, CD30L, CD40L, FasL, LIGHT, Ox-40-L, TRANCE, TRAIL, AND TWEAK; Beta-trefoils include, but are not limited to, ILla and b, ac.FGF, bas.FGF, INT-2, and KGF; and Cystine Knots--a large family of cytokines that generally homodimerize and contain three disulfide bonds -- include, but are not limited to, TGF\$1, 2, and 3, activin, inhibin, the BMP's (more than 30), PDGF a and b, VEGF, PIGF, NGF, BDNF, NT3, and NT4/5. Short-chain alpha/beta cytokines include, but are not limited to, the EGF-domain, EGF, TGF-a, beta-cellulin, SCDGF, CCGF, Amphirequlin, and HB-EGF. Chemokines (C--C, C--X--C, and C--XXX--C; also classified as a+ structures) include. but are not limited to, MCP-1, -2, and -3, RANTES, MIP1- α and -B, IL-8, GRO, PF-4, MIP-2, NAP-2, GCP-2, ENA-78, and IP-10. The Insulin-like cytokines include, but are not limited to, insulin, IGF I and II, relaxin, and bombyxin. Some cytokines have mosaic structures, including, but not limited to, HGF, IL12, Ig-EGF-TK-Cyt, the HRG alphas

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and betas, NDF, ARIA, and GGF.

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hydroxypropyl) methyl- N3,N3-
dipropylisophthalamide,
N1-« 1S, 2R) -I - (3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyrimidinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N1-[(1S,2R) (3,5-difluorobenzyl) ({[6-(dimethylarnino)
pyrimidinyl]methyl}amino) hydroxypropyl] methyl-N3,N3-
dipropylisophthalamide,
N1-[(1S,2R) (3,5-difluorobenzyl) ({[2-(dimethylamino)
pyrimidinyl|methyl|amino| hydroxypropyll methyl-N3.N3-
dipropylisophthalamide.
NI-[(1S.2R)-I-(3,5-difluorobenzyl) ({[4-(dimethylarnino)
pyrimidinyllmethyl amino) hydroxypropyll methyl -N3,N3-
dipropylisophthalamide,
N1 -« 1 S, 2R) (3,5-difluorobenzyl) hydroxy {[(4-isopropyl
pyrimidinyl)methyl]amino{propyl} methyl-N3,N3-dipropylisophthalamide.
N1 -&laguo; 1 S, 2R) - 1 - (3,5-difluorobenzyl) { [(4-ethyl
pyrimidinyl)methyllwnino} hydroxypropyl) methyl-N3.N3-
dipropylisophthalamide.
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N1-« 1 S, 2R) - 1 - (3,5-difluorobenzyl) {[(5-ethyl
pyridazinyl)methyl]amino} hydroxypropyl) -methyl-N3,N3-
dipropylisophthalamide,
N3-&laguo; 1 S, 2R) - 1 - (3,5-difluorobenzv1) -3 - ([3-
(dimethylamino) benzyl]amino}
hydroxypropyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1-&laguo: 1 S.2R) (3.5-difluorobenzyl) hydroxy {[(5-isopropyl
pyridazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3-« 1 S, 2R) -1 - (3, 5-difluorobenzyl) hydroxy { [3-(I -
propynyl)benzyl]amino}propyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1-« 1 S, 2R) - 1 - (3, 5-difluorobenzyl) hydroxy { [(6-isopropyl
pyridazinyl) methyllamino propyl) methyl-N3, N3-dipropylisophthalamide,
N3- {(1 S92R)-1-(3,5-difluorobenzy1)-3-[(3-ethynylbenzy1)amino]
hydroxypropy1 } - N5 N5 -dipropy1-3,5 -pyridinedicarboxamide,
N 1 - « 1 S, 2R) - 1 - (3,5 -difluorob enzyl) -3 - { [(6-ethyl
pyridazinyl) methyflamino } hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,
N3- {(I S,2R)-I-(3,5-difluorobenzyl) hydroxy [(3-
isopropylbenzyl)amino|propyl} -N5.N5-dipropyl-3.5-pyridinedicarboxamide,
N1-« 1 S, 2R) - 1 - (3,5-difluorobenzyl) {[(6-ethyl
pyrazinyl) methyl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalwnide,
N3- {(1 S.2R)-1 -(3.5-difluorobenzyl) [(3-etliylbenzyl)ainino]
hydroxypropyl \-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1 -« 1 S, 2R) (3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyrazinyl) methyllamino propyl) methyl-N3, N3-dipropylisophthalamide,
N1-[(IS.2R) hydroxy [(3-methoxybenzyl)amino]-I-(3.4.5-
trifluorobenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1 -&laguo; 1 S.2R) hydroxy- 1-(3,4,5-trifluorobenzyl)-3 - {[3-
(trifluoromethyl)benzyllamino\propyl) methyl-N3,N3-
dipropylisophthalalnide.
N1 -« 1 S,2R) hydroxy- 1 -(2,3,5,6-tetrafluorobenzy1) {[3 -
(trifluoromethyl)benzyllamino{propyl) methyl-N3,N3-
dipropylisophthalamide.
N1 - [(1 S,2R) hydroxy-3 - [(3-methoxybenzy1)ainino] - 1 - (2,3,5,6-
  tetrafluorobenzyl) propyll methyl-N3, N3-dipropylisophthalamide.
NI-« 1 S, 2R)-1 -(3,5-difluorobenzyl) hydroxy ff(IR, 2S) hydroxy
methoxy-2,3-dihydro-IH-inden yl]amino}propyl) methyl-N3,N3-
dipropylisophthalamide,
N1 -« 1 S, 2R) -1 -(3,5-difluorobenzyl) hydroxy ff(IR, 2S) hydroxy
methoxy-2,3-dihydro-IH-inden yl]amino)propyl)-N3,N3-dipropyl-1,3,5-
benzenetricarboxarnide.
N1 -&laguo; 1 S, 2R) - 1 - (3,5-difluorobenzy1) { [(1R, 2S) ethyl hydroxy-2,3-
dihydro-1H-inden yl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalwnide,
N1-« I S.2R) (3,5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-
dihydro- M-inden- 1 -yl]amino} hydroxypropyl)-N3,N3-dipropyl- 1,3,5-
benzenetricarboxarnide,
N1 ~ {(1 S,2R) hydroxy~ 1 - (M-indol yhnethy1) [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-[(IS,2R) [(3-ethylbenzyl)aininol hydroxy-1-(1H-indol
ylmethyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (3-
methylbenzyl)propyl| methyl-N3,N3-dipropylisophthalamide.
N1-[(1S.2R) hydroxy [(3-methoxybenzyl)amino]-I-(3-
methylbenzyl)propyl] - N3,N3-dipropyl-1,3,5-benzenetricarboxwnide,
NI - { (1S, 2R) hydroxy [(3-methoxybenzyl)amino]-I-[3-
(trifluoromethyl)benzyl]propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - ffl S,2R) hydroxy [(3-methoxybenzyl)amino] - 1 - [3-
(trifluoromethyl)benzyl]propyl}-N3,N3-dipropyl-1,3.5-
benzenetricarboxamide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (2-
pyridinyhnethyl)propyl] methyl-N3,N3-dipropylisophthalainide,
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N1 - [(I S, 2R) hydroxy [(3-methoxybenzyl)amino] - 1-(2-
pyridinyhnethyl)propyl - N3,N3-dipropyl - 1,3,5-benzenetricarboxamide,
N1-{(15,2R) [3-fluoro (trifluoromethyl)benzyl] hydroxy [(3-
methoxybenzyl)amino]propyl} Methyl-N3,N3-dipropylisophthalainide,
NI-{(1S.2R) [3-fluoro (trffluoromethyl)benzyl] hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S, 2R) hydroxy [(3-methoxybenzyl)amino] [3-
(trffluoromethoxy)benzyl]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(IS, 2R) hydroxy [(3-methoxybenzyl)aminol-1-[3-
(trifluoromethoxy)benzyl]propyl}-N3,N3-dipropyl-1,3,5-
benzenetricarboxamide,
N1- {(1S,2R) hydroxy-1-(3-hydroxybenzyl) [(3-
methoxybenzyl)amino[propyl] methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) hydroxy-1-(3-hydroxybenzyl) [(3-
methoxybenzyl)aminolpropyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
NI-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (4-
methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(15,2R) hydroxy [(3-methoxybenzyl)wnino] (4-
methylbenzyl)propyl] - N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S.2-R) (4-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl~N3,N3-dipropylisophtlialainide,
N1-{(1S,2R) (4-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl}-N3.N3-dipropyl-1.3.5-benzelietricarboxamide,
N1-{(1S,2R) (4-chlorobenzyl) hydroxy [(3-
methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
NI - ffl S, 2R) - 1 - (4-chlorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl - N3, N3-dipropyl -1, 3, 5-benzenetricarboxamide,
N1-{(IS,2R) hydroxy-1-(3-methoxybenzyl) [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
NI - ffl S,2R) hydroxy- 1 -(3-methoxybenzy1) [(3-
methoxybenzy1)amino]propy1}- N3,N3-dipropy1-1,3,5-benzenetricarboxamide,
NI - ffl S,2R) hydroxy- 1 -(4-methoxybenzyl) [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophtlialamide,
NI - {(1 S.2R) hydroxy- 1-(4-methoxybenzyl) (3-
1 0 methoxybenzyl)aminolpropyl}- N3.N3-dipropyl-1.3.5-
benzenetricarboxamide,
N1-{(IS, 2R) (3-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) (3 chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)ainino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S, 2R) (4-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalarnide,
NI-{(1S,2R) (4-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino[propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxainide,
NI-{(15,2R) (3,5-dichlorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) (3,5-dichlorobenzyl) hydroxy [(3-
methoxybenzy1)amino]propy1}- N3,N3-dipropy1-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) [4-(dimethylamino)benzyll hydroxy [(3-
methoxybenzyl)alnino]propyl} methyl-N3,N3-dipfopylisophthalamide,
N1-{(1S,2R) [4-(dimethylamino)benzyl] hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(IS, 2R) (3-chlorobenzyl) hydroxy [(3-
methoxybenzyl)amino[propyl] methyl-N3,N3-dipropylisophthalamide.
N1 - f(1 S.2R)-1 - (3-chlorobenzyl) hydroxy [(3-
methoxybenzyl)ainino]propyl} Methyl-N3,N3-dipropylisophthalainide,
N1 - {(I S52R) - 1 - (3-fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - {(1 S, 2R) - 1 - (3-fluorobenzyl) hydroxy [(3-
methoxybenzyl)ainino]propyl}- N3,N3-dipropyl-1,3;5-
benzenetricarboxainide,
N1-{(15,2R) hydroxy-1-(4-isopropylbenzyl) [(3-
methoxybenzyl)amino[propyl] methyl-N3,N3-dipropylisophthalamide,
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N1-{(1S.2R) hydroxy-I-(4-isopropylbenzyl) [(3-
methoxybenzyl)amino)propyl}- N3,N3-dipropyl-1,3,5-
belizenetricarboxamide,
N1 - {(1 S.2R) hydroxy [(3-methloxyb enzyl)amino]-I-[(6-methoxy-2)-
pyridinyl)methyllpropyl} methyl-N3,N3-dipropylisophthalamide,
N1 - {(1 S.2R) hydroxy [(3-methoxybenzyl)ainino] - 1 - [(6-methoxy
ovridinyl)methyl[propyl]-N3,N3-dipropyl-1,3,5-benzenetricarboxalnide,
1 5 N1 - {(I S,2R) hydroxy [(3-methoxybenzyl)alnino]-1 - [(5-methyl
pyridinyl)methyl]propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - {(I S.2R) hydroxy [(3-methoxybenzyl)amino] - 1 -[(5-methyl
pyridinyl) methyl] propyl }-N3, N3-dipropyl-1, 3, 5-benzenetricarboxamide,
N1 - ffl S.2R) - 1-(3-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl)amino|propyl} methyl-N3,N3-dipropylisophthalamide,
N1 - ffl Sl.2R) - 1 - (3-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl)alnino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - { (1 S52R) - 1 - (3-fluoro methoxybenzyl) hydroxy [ (3-
methoxybenzyl)atnino[propyl] methyl-N3,N3-dipropylisophthalamide,
N1 - {(I S,2R) (3-fluoro methoxybenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxalnide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)alnino] (2-methoxy
methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (2-methoxy
methylbenzyl)propyl]-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 -[(I S,2R) hydroxy [(3-methoxybenzyl)amino] - 1 -(1,3-thiazol
vhnethyl)propyll methyl-N3.N3-dipropylisophthalainide.
N1 -[(1 S,2R) hydroxy [(3-methoxybenzyl)amino]-1 -(1,3-thiazol
ylmethyl)propyl]-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - ffl S.2R) - 1 - ((5-chloro thienvl)methyll hydroxy ((3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophtlialamide,
N1 - ffl S,2R) - 1 -[(5-chloro thienyl)methyl] hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N- {(1 S,2R) - 1-(3 5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino)
hydroxypropyl} hydroxy (,I-pyrrolidinylcarbonyl)benzamide,
N- {(1 S92R) - 1 (3,5-difluorobenzy1) -3 -[(3 -ethylbenzy1)amino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-thiazole carboxamide,
N- ffl S92R) - 1 - (3 5-difluorobenzv1) -3 - [(3 -ethylbenzv1)ainino]
hydroxypropyl [ (methylsulfonyl) amino] -1,3-oxazole carboxalnide,
N- { (1 S52R) - 1 (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl [(propylsulfonyl)amino]-1,3-thiazole carboxamide,
N- {(1 S, 2R) - 1 (3 5-difluorobenzyl) hydroxy-3 -[(3-
methoxybenzyl)alnino]propyl} hydroxy (1-pyrrolidinylcarbonyl)benzamide,
N- {(1 S92R) - 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino[propyl] [(propylsulfonyl)amino]-1,3-thiazole
carboxamide,
N- {(1 S,2R) - 1 -benzyl [(3 -ethylbenzyl)amino] hydroxypropyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide.
N-&laguo: IS.2R) (3.5-difluorobenzvl) {[I-(3-
ethylphenyl)cyclopropyl]ainino} hydroxypropyl) [(methylsulfonyl)aminol-
1.3-
oxazole carboxatnide,
N-« I S52R) - 1 - (3 S-difluorobenzyl) { [ 1 - (3-ethylphenyl) - 1 -
methylethyl]a-rnino} hydroxypropyl) hydroxy (1-
pyrrolidinylcarbonylfienzamide,
N-« I S, 2R) (3 difluorobenzyl) ffl - (3-ethylphenyl) - 1 -
methylethyl]ainino} hydroxypropyl) [(methylsulfonyl)amino]-1,3-oxazole
carboxainide,
N- {(1 S.2R) - 1 -benzyl hydroxy [(3-methoxybenzyl)amino)propyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-« 1 S92R) - 1 - (3,5-difluorobenzyl) ff1 - (3-ethylphenyl) - 1 -
methylethyllamino) hydroxypropyl) methyl [(methylsulfonyl)amino]-1.3
oxazole carboxalnide,
N-« 1 S, 2R) -1 - (3,5-difluorobenzy1) ff1 - (3-
ethylphenyl)cyclopropyllainino} hydroxypropyl) hydroxy (I-
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pyrrolidinylcarbonyl)benzamide,
N- ffl S.2R) - 1 - (3.5-difluorobenzyl) [(3 -ethynylbenzyl)ainino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S,2R) - 1 - (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- ffl S.2R) - 1 - (3,5-difluorobenzy1) -3 - [(3 -ethynylbenzy1)amino]
hydroxypropy1} methyl [(methylsulfonyl)amino] - 1,3 -oxazole carboxamide,
N- { (1 S, 2R) -1 - (3, 5 -difluorobenzyl) hydroxy-3 - [(3-
methoxybenzyl)atnino]propyl} hydroxy (1-piperidinylearboliyl)benzamide,
N- {(1 S92R) - 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)arninolpropyl} [(methylsulfoliyl)aminol-1,3-oxazole
carboxamide.
N- ffl S, 2R) - 1 -benzyl hydroxy [(3-iodobenzyl)amino]propyl}
[(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
N- ffl S52R) - 1 - (3.5-difluorobenzyl) hydroxy [(3 -
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3 oxazole
carboxamide,
N- ffl S.2R) - 1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl hydroxy (1-piperidinylcarbonyl)benzamide,
N- ffl S52R) - 1 -(3,5-difluorobenzyl) -3 -[(3 -ethylbenzyl)ainino]
hydroxypropyl [(methylsulfonyl)alnino]-1,3-oxazole carboxaniide,
N- ffl S,2R) - 1 -benzyl hydroxy [(3-iodobenzyl)amino]propyl} methyl-
2-[(methylsulfonyl)wnino]-1,3-oxazole carboxamide,
N- ffl S22R) - 1 -(3,5-difluorobenzy1) -3 -[(3-ethylbenzy1)amino]
hydroxypropyl} methyl [(methylsulfonyl)alnino]-1,3-oxazole
'ca, rboxamide,
N- {(1 S,2R)-1 -(3 difluorobenzyl) [(3 -ethylbenzyl)ainino]
hydroxypropyl} hydroxy (4-morpholinylcarbonyl)benzamide,
N- {(I S, 2R)-1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl [(ethylsulfonyl)alnino]-1,3-oxazole carboxamide,
N- {(1 S32R) - 1 - (3,5-difluorobenzyl) hydroxy-3 - [(3 -
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N- {(1 S32R) - 1 -(3,5-difluorobenzyl) hydroxy-3 -[(3-
iodobenzyl)amino]propyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S52R) - 1 -(3 5-difluorobenzy1) -3 -[(3 -ethylbenzy1)amino]
hydroxypropy! } hydroxy (4-morpholinylcarboliyl)benzamide,
N- {(I S32R)-1-(3,5-difluorobenzyl) hydroxy-3-[(3-
iodobenzyl)atnino]propyl} [(propylsulfonyl)ainino]-1,3-oxazole
carboxamide,
N- {(1 S92R) - 1 -(3.5-difluorobenzvl) hydroxy [(3-
methoxybenzyl)amino|propyl} methyl ((methylsulfonyl)amino|-1.3-oxazole
carboxamide.
N- ffl S92R) - 1 - (3 5 -difluorobenzyl) hydroxy [(3-
iodobenzyl)atninolpropyl} [(methylsulfonyl)amino]-1,3-thiazole
carboxamide.
N- {(1 S.2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} hydroxy (1-piperazinylcarbonyl)benzamide,
N-\{(1,S,2R)-1-(3,5-difluorobenzyl)\ [(3-ethylbenzyl)amino]
hydroxypropyl [(methylsulfonyl)amino]-1,3-thiazole carboxainide,
N- f(1 S, 2R)-1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)alnino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S,2R)-1 -(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl [(methylsulfonyl)amino] - 1.3-oxazole carboxamide.
N- f (1 S.2R) - 1-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy-3 -(I -piperazinylcarbonyl)benzamide,
N- {(I S, 2R) (3.5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl methyl (methylsulfonyl)ainino -1.3-oxazole carboxamide.
N4- {(1 S,2R)- 1-(3,5-difluorobenzyl)-3 [(3-ethylbenzyl)amino]
hydroxypropyl [ (methylsulfonyl)alnino] -1,3-oxazole-4,5-dicarboxamide,
N- ffl S92R) - 1 - (3,5-difluorobenzyl) hydroxy-3 - [(3 -
iodobenzyl)aminolpropyl} ((methylsulfonyl)aminol-1.3-oxazole
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carboxamide.
NI - {(1 S,2R) - 1 -(3,5-difluorobenzy1) -3 -[(3 -ethylbenzy1)amino]
hydroxypropyl} hydroxy-N3-methylisophthalamide,
N- ffl S22R) - 1 - (3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide.
N- {(1 S,2R)-1-(3,5-difluorobenzyl)-3-[(3 -ethylbenzyl)amino]
hydroxypropyl } [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S.2R) - 1 - (3.5-difluorobenzyl) hydroxy-3 - [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide.
NI - ft1 S,2R) - 1 - (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino[propyl] hydroxy-N3-methylisophthalamide,
N- ffl S.2R) (3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl {(methylsulfonyl)amino]-1,3-oxazole-2
carboxamide.
N- ffl S52R) - 1 - (3 5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl} [(ethylsulfonyl)aminol-1.3-oxazole
N- {(I S92R) - 1 -(3,5-difluorobenzyl)-3 -[(3 -ethylbenzyl)amino]
hydroxypropyl methyl ((methylsulfonyl)a,tninol-1,3-oxazole carboxamide,
NI - {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}-N3-ethyl 4-hydroxyisophthalamide,
N- {(I S, 2R) - 1 - (3 5-difluorobenzyl) [(3-ethylbenzyl)wnino]
hydroxypropyl } [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- { (I S 2R) - 1 - (3,5-difluorobenzyl) hydroxy-3 - [(3-
iodobenzyl)aminolpropyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S32R) - 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
NI - ffl S,2R) - 1 - (3,5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl)-N3-ethyl hydroxyisophthalamide,
N- ffl S92R) - 1 - (3 5-difluorobenzyl) hydroxy-3 - [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminolpropyl} [(propylsulfonyl)aminol-1,3-oxazole
carboxamide.
N- ((1 S52R) - 1 - (3 5-difluorobenzvl) hvdroxv-3 - ((3-
iodobenzyl)amino]propyl} [(methylsulfonyl)atnino] isoxazolecarboxamide,
N1 - { (1 S, 2R) (3,5-diffuorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl}-N3-ethyl hydroxyisophthalamide,
N- ffl S22R) - 1 -(3,5-difluorobenzyl) -3 -[(3 -ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N- {(1 S.2R) - 1 -(3.5-difluorobenzyl) hydroxy [(3
methoxybenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxwnide.
N- ffl S.2R)-1 -(3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminoloropyl} (hydroxymethyl) [(methylsulfonyl)aminol-1.3-
oxazole carboxamide.
N3-(cyclopropylmethyl)-N1 - {(1 S 2R)-1 -(3,5-difluorobenzyl) hydroxy-3
[(3-iodobenzyl)amino]propyl} hydroxylsophthalamide,
5-cyclopropyl-N- {(1 S,2R)-1-(3,5-difluorobenzyl) hydroxy [(3 -
iodobenzyl)amino]propyl} [(methylsulfonyl)alninol-1,3-oxazole
carboxamide,
N- ffl S52R) - 1 - (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl ((propylsulfonyl)aminol-1.3-oxazole carboxamide.
N- ((1 S52R) - 1 - (3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminolpropyl | isopropyl [(methylsulfonyl)amino]-1.3-oxazole
carboxamide.
N3-(cvclopropvlmethv1)-N1 - ffl S52R)- 1 -(3.5-difluorobenzv1) [(3-
ethylbenzyl)amino) hydroxypropyl} hydroxyisophthalamide,
N-((1S,2R)-I-(3,5-difluorobenzyl) hydroxy (isopentylamino)propyl)
[(methylsulfonyl)amino]-1,3-oxazole carboxainide,
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N- {(1 S52R)-1 -(3 5-difluorobenzyl)-3 -((3 -ethylbenzyl)amino)
hydroxypropyl} methyl [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N-[(1S,2R) (cyclopropylamino) (3,5-difluorobenzyl) hydroxypropyl]-
2-[(methylsulfonyl)aminol-1,3-oxazole carboxamide,
N-[(1 S.2R) [(3-ethylbenzyl)amino] hydroxy- 1 -(4-
hydroxybenzyl)propyl] [(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
N1 - ffl S52R) - 1 -(3,5-difluorobenzyl) -3 -[(3 -ethylbenzyl)aminol
hydroxypropyl} hydroxy-N3-isobutylisophthalamide,
2- {[(cvclopropylmethyl)sulfonyllamino} -N- ffl S52R)- 1 - (335-
difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl}-1,3-oxazole
carboxamide,
NI - {(1 S.2R) - 1 - (3.5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy- N3-isobutyl-N3-methylisophthalamide,
N- {(1 S, 2R) - 1 -(3,5-difluorobenzyl)-3 -[(3-ethylbenzyl)ainino]
hydroxypropyl} [(isobutylsulfonyl)amino]-1,3-oxazole carboxamide,
N3-(cyclopropylmethyl)-N1 - {(I S,2R)-1-(3,5-difluorobenzyl)-3-[(3-
ethylbenzyl)amino] hydroxypropyl} hydroxy-N3-methylisophthalamide,
N- { (1 S, 2R) -1 - (3, 5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} [(isobutylsulfonyl)amino]-1,3-oxazole
carboxainide,
N1 - {(1 S32R) - 1 - (3.5-difluorobenzvl) -3 - [(3 -ethylbenzyl)amino]
hydroxypropyl } hydroxy-N3-methyl-N3-propylisophthalamide,
N- f(1 S52R) - 1 - (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aininolpropyl} [(isobutylsulfoiiyl)aminol-1,3-oxazole
carboxamide.
N1 - {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl} hydroxy-N3-methyl-N3-propylisophthalamide,
N- {(1 S.2R) (3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(phenylsulfonyl)amino]-1,3-oxazole
carboxamide,
N1 - ffl S,2R) - 1 - (3,5 -difluorobenzyl) hydroxy-3 - [(3-
methoxybenzyl)amino]propyl}-N3-ethyl hydroxy-N3-propylisophthalamide,
N-{(1S, 2R)
lamide.
NI -&laguo; 1 S, 2R) - 1 - (3,5-difluorobenzy1) - 3 - ff (4-ethyl
pyrimidinyl)methyl]ainino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide,
NI -« 1 S, 2R) -1 - (3,5-difluorobenzyl) {[(5-ethyl
pyridazinyl) methyl]amino} hydroxypropyl) methyl-N3,N3-
dipropylisophthalainide,
N3-&laguo; 1 S52R) - 1 - (3.5-difluorobenzv1) -3 - {[3-
(dimethylainino) benzyllamino}
hydroxypropyl)-N5,N5-dipropyl-3,5-pyridinedicarboxamide.
N1-« 1 S.2R) - 1-(3,5-difluorobenzyl) hydroxy {[(5-isopropyl
pyridazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3-« 1 S, 2R) - 1 - (3,5-difluorobenzyl) hydroxy-3 - {[3-(1-
propynyl) benzyl] amino propyl) -N5,N5-dipropyl-3,5-pyridinedicarboxamide,
NI -« 1 S92R) - 1 - (3,5-difluorobenzyl) hydroxy {[(6-isopropyl
pyridazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
N3- {(1 S,2R)- 1-(3,5-difluorobenzyl) [(3-ethynylbenzyl)wnino]
hydroxypropyl \- N5, N5-dipropyl-3,5-pyridinedicarboxamide,
N1-« 1S, 2R) (3,5-difluorobenzyl) {[(6-ethyl
pyridazinyl) methyl] amino } hydroxypropyl) methyl-N3,N3-
dipropylisophthalamide.
N3- {(1 S.2R)-1 - (3.5 -difluorobenzyl) hydroxy-3 - [(3 -
isopropylbenzyl)amino]propyl}-N5,N5-dipropyl-3,5-pyridinedicarboxamide,
N1-&laguo: 1 S.2R) -1 - (3.5-difluorobenzyl) { (6-ethyl
pyrazinyl)methyl]alnino} hydroxypropyl) methyl-N3,N3-
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N3- ffl S,2R)-1-(3 5-difluorobenzy1)-3-[(3 -ethylbenzy1)aminol hydroxypropy1}-N5,N5-dipropyl-3,5-pyridinedicarboxamide.

dipropylisophthalamide,

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N1-&laguo: 1 S.2R) - 1-(3.5-difluorobenzyl) hydroxy {[(6-isopropyl
pyrazinyl)methyl]amino}propyl) methyl-N3,N3-dipropylisophthalamide,
NI-[(15,2R) hydroxy [(3-methoxybenzyl)amino]-I-(3,4,5-
trifluorobenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
NI -&laquo: 1 S.2R) hydroxy- 1 -(3,4,5-trifluorobenzy1) {[3-
(trifluoromethyl)benzyllamino{propyl) methyl-N3,N3-
dipropylisophthalamide.
NI -« 1 S, 2R) hydroxy- 1 -(2,3,5,6-tetrafluorobenzy1)-3 - {[3 -
(trifluoromethyl)benzyl]amino}propyl) methyl-N3,N3-
dipropylisophthalamide,
NI -[(1 S,2R) hydroxy [(3-methoxybenzyl)ainino] - 1 -(2,3,5,6-
  tetrafluorobenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
NI -&laguo: 1 S.2R) - 1 -(3,5-difluorobenzyl) hydroxy-3 - ff(1R,2S)
hvdroxv
methoxy-2,3-dihydro-IH-inden yl]amino propyl) methyl-N3,N3-
dipropylisophthalainide,
NI -&laguo:1 S92R) - 1 -(3.5-difluorobenzyl) hydroxy-3 - ff(1R.2S)
methoxy-2,3-dihydro-1H-inden yl]ainino}propyl)-N3,N3-dipropyl-1,3,5-
benzenetricarboxamide,
N1-&laguo: 1 S.2|1)-1 - (3.5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-
dihydro- M-inden- 1 -v1] amino} -2 hydroxypropyl) methyl-N3,N3 -
dipropylisophthalainide,
N1-« 1 S,2R) (3,5-difluorobenzyl) {[(1R,2S) ethyl hydroxy-2,3-
dihydro- 1 H-inden- 1 -v1lamino) hydroxypropyl)-N3,N3-dipropyl- 1,3,5-
benzenetricarboxamide,
N1- {(1 S,2R) hydroxy- P(M-indol yhnethy1) [(3-
methoxybenzyl)aininolpropyl} methyl-N3,N3-dipropylisophthalamide,
N1 -[(1 S,2R) [(3-ethylbenzyl)aminol hydroxy- 1 -(IH-indol
ylmethyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(15,2R) hydroxy [(3-methoxybenzyl)alnino] (3-
methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1 -[(1 S,2R) hydroxy [(3-methoxybenzyl)a-rnino]- 1 -(3 -
methylbenzyl)propyl] - N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy [(3-methoxybenzyl)amino] [3-
(trifluoromethyl)benzyl]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) hydroxy [(3-methoxybenzyl)amino] [3-
(trifluoromethyl)benzyl]propyl}-N3 dipropyl-1,3,5-benzenetricarboxamide,
.N3 - '
N 1 (1 S 5 2R) -2 -hydroxy- 3 - [ (3 -methoxyb enzyl) ainino 1 - (2 -
pyridinyhnethyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)amino] (2-
pyridinyhnethyl)propyl] - N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(IS,2R)-I-[3-fluoro (trifluoromethyl)benzyl] hydroxy [(3-
methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(15.2R) [3-fluoro (trifluoromethyl)benzyl] hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy [(3-methoxybenzyl)wnino] [3-
(trifluoromethoxy)benzyllpropyl} methyl-N3,N3-dipropylisophthalamide,
N1- {(1 S,2R) hydroxy [(3-'methoxybenzyl)amino]-1 -[3-
(trifluoromethoxy) benzyl]propyl}-N3,N3-dipropyl-1,3,5-
benzenetricarboxamide.
1 N1 - {(1 S, 2R) hydroxy- 1 - (3-hydroxybenzy1) [(3-
methoxybenzyl)amino[propyl] methyl-N3,N3-dipropylisophthalamide,
N1 - ((1 S.2R) hydroxy- 1 - (3-hydroxybenzyl) ((3-
methoxybenzyl)aminolpropyl - N3.N3-dipropyl - 1.3.5-benzenetricarboxamide.
N1-[(1S.2R) hydroxy [(3-methoxybenzyl)amino] (4-
methylbenzyl)propyl] methyl-N3,N3-dipropylisophthalamide,
N1-[(1S,2R) hydroxy [(3-methoxybenzyl)ainino]-I-(4-
methylbenzyl)propyll - N3,N3-dipropyl-1,3,5-benzenetricarboxainide.
N1-{(1S,2R) (4-fluoro methylbenzyl) hydroxy [(3-
methoxybenzyl) wnino] propyl} methyl-N3, N3-dipropylisophthalamide.
N1-{(1S,2R) (4-fluoro methylbenzyl) hydroxy [(3-
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methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxainide,
N1-{(1S.2R) (4-chlorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S, 2R) (4-chlorobenzyl) hydroxy [(3-
methoxybenzy1)wnino|propy1}- N3,N3-dipropy1-1,3,5-benzenetricarboxamide,
N1-{(15,2R) hydroxy-1-(3-methoxybenzyl) [(3-
methoxybenzyl)aminolpropyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(15,2R) hydroxy-1-(3-methoxybenzyl) [(3-
methoxybenzyl)amino[propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(15,2R) hydroxy-1-(4-methoxybenzy1) [(3-
methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S,2R) hydroxy-1-(4-methoxybenzyl) [(3-
methoxybenzy1}amino]propy1}- N3,N3-dipropy1-1,3,5-benzenetricarboxamide,
NI-{(1S, 2R)-I-(3-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S, 2R) (3-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(IS.2R) (4-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl} methyl-N3,N3-dipropylisophthalamide,
N1 - ffl S, 2R) -1 - (4-chloro fluorobenzyl) hydroxy [(3-
methoxybenzyl)ainino|propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1 - ffl S32R) - 1 - (3,5-dichlorobenzyl) hydroxy-3 - [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalainide,
Nl - ffl S|2R) - 1 - (3,5-dichlorobenzyl) hydroxy-3 - f(3-
methoxybenzyl)amino|propyl}- N3,N3-dipropyl 1,3,5-
benzenetricarboxalnide.
N1-{(15,2R) [4-(dimethylamino)benzyl] hydroxy [(3-
methoxybenzyl)amino]pro! -N3
pvl | methvl N3-dipropvlisophthalamide.
N1-{(1S,2R) [4-(dimethylainino)benzyl] hydroxy [(3-
methoxybenzyl)aminolpropyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxalnide,
N1-{(IS.2R) (3-chlorobenzvl) hvdroxv [(3-
methoxybenzyl)ainino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-1(15,2R) (3-chlorobenzyl) hydroxy [(3-
methoxybenzyl)wninolpropyl} methyl-N3,N3-dipropylisophthalainide,
N1-{(15.2R) (3-fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(15,2R) (3-fluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
N1-{(1S,2R) hydroxy-1-(4-isopropylbenzyl) [(3-
methoxybenzyl)amino]propyl} methyl-N3,N3-dipropylisophthalamide,
N1-{(1S, 2R) hydroxy-1-(4-isopropylbenzyl) [(3-
methoxybenzyl)aminolpropyl}- N3,N3-dipropyl-1,3,5-benzenetricarboxamide,
NI-{(15,2R) hydroxy [(3-methoxybenzyl)ainino]-I-[(6-methoxy
pyridinyl) methyl|propyl} 5-methyl-N3,N3-dipropylisophthalainide,
N1-{(IS,2R) hydroxy [(3-methoxybenzyl)ainino] [(6-methoxy
pyridinyl)methyl]propyl}-N3,N3-dipropyl-1,3,5-benzenetricarboxarnide,
N1-{(IS,2R) hydroxy [(3-methoxybenzyl)alnino]-I-[(5-m'ethyl
pyridinyl)methyl]propyl} methyl-N3,N3-dipropylisophthalamide,
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N- ffl S92R) - 1 - (3.5-difluorobenzyl) hydroxy-3 - [(3 -
methoxybenzyl)alnino]propyl} hydroxy (1-pyrrolidinylcarbonyl)benzamide,
N- {(1 S52R)- 1 -(3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino|propyl} ((propylsulfonyl)amino|-1.3-thiazole
carboxamide.
N- {(1 S, 2R)-1 -benzyl [(3-ethylbenzyl)amino] hydroxypropyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide.
N-&laguo: 15.2R) (3.5-difluorobenzyl) {[1-(3-
ethylphenyl)cyclopropyl]amino} hydroxypropyl) [(methylsulfonyl)amino]-
1.3-
oxazole carboxwoide.
N-&laguo: 1 S52R) - 1 - (3.5-difluorobenzyl) -3 - { (1 - (3-ethylphenyl) - 1 -
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methylethyl]amino} hydroxypropyl) hydroxy (1-
pyrrolidinylcarbonyl)benzamide,
N-« 1 S, 2R) - 1 - (3,5-difluorobenzyl) - 3 - ([ 1 - (3-ethylphenyl) - 1 -
methylethyl]atnino} hydroxypropyl) [(methylsulfonyl)amino]-1,3-oxazole
carboxarnide.
N- {(1 S,2R)-1 -benzyl hydroxy [(3 -methoxybenzyl)amino]propyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-&laguo: 1 S.2R) (3.5-difluorobenzy1) {[1 - (3-ethylpheny1) - 1 -
methylethyl]amino} hydroxypropyl) methyl [(methylsulfoliyl)amino]-1,3-
oxazole carboxamide,
N-« 1 S, 2R) (3,5-difluorobelizyl) ffl - (3-
ethylphenyl)cyclopropyllamino} hydroxypropyl) hydroxy (1-
pyrrolidinylcarbonyl) benzamide,
N- ffl S,2R)- 1 -(3,5-difluorobenzyl)-3 -[(3 -ethynylbenzyl)amino]
hydroxypropyl } [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S92R)-1-(3,5-difluorobenzyl) hydroxy-3-[(3-
methoxybenzyl)amino|propyl} [(methylsulfonyl)aminol-1,3-oxazole
carboxamide.
N- {(1 S52R) - 1 -(3,5 -difluorobenzyl) [(3-ethynylbenzyl)alnino]
hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ((1 S.2R)-1 -(3.5-difluorobenzyl) hydroxy ((3-
methoxybenzyl)aminolpropyl} hydroxy (1-piperidinylcarbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminolpropyl} [(methylsulfonyl)amino]-1,3-oxazole
carboxamide.
N-{(1S, 2R)-1-benzyl hydroxy [(3-iodobenzyl)amino]propyl}
[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S.2R) (3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino)propyl} methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl} hydroxy (I-piperidinylcarbonyl)benzamide,
N-{(1S, 2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-f(IS,2R)-l-benzyl hydroxy [(3-iodobenzyl)amino]propyl} methyl-
2-[(methylsulfonyl)ainino]-1,3-oxazole carboxamide,
N-{(1S, 2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl | methyl [(methylsulfonyl)amino]-1,3-oxazole carboxainide,
N-{(1S,2R)-I-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy (4-morpholinylcarbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)wnino]propyl} methyl [(methylsulfonyl)ainino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(ethylsulfonyl)wnino]-1,3-oxazole carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl) hydroxy (4-morpholinylcarbonyl)benzainide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxamide.
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl) methyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminolpropyl} [(methylsulfonyl)alninol-1.3-thiazole
carboxamide,
N-f(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aininolpropyl} hydroxy (1-piperazinylearbonyl)benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydro xypropyl} [(methylsulfonyl)amino]-1,3-thiazole carboxamide,
N-{(1S52R) (315-difluorobenzyl) [(3-ethylbenzyl)ainino]-2
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hydroxypropyl} methyl [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-((IS,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl ((methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-{(1S52R) (3.5-difluorobenzyl) ((3-ethylbenzyl)ainino)
hydroxypropyl} hydroxy (I-piperazinylcarbonyl)belizamide,
N-{(1S,2R)-I-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} methyl [(methylsulfonyl)ainino]-1,3 -oxazole
carboxalnide.
N4-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl [ (methylsulfonyl)ainino] -1,3-oxazole-4,5-dicarboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)aminolpropyl} [(methylsulfonyl)aminol-1.3-oxazole
carboxamide,
N1-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl hydroxy-N3-methylisophthalamide.
N-{(IS, 2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} methyl [(methylsulfonyl)a'mino]-1,3-oxazole
carboxamide,
N-{(1S.2R) (3.5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl ((ethylsulfonyl)aminol-1.3-oxazole carboxamide.
N-{(1S, 2R)-1-(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)ainino]-1,3-oxazole
carboxamide,
N1-{(15.2R)-1 (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino[propyl] hydroxy-N3-methylisophthalamide,
N-{(1S.2R)-I-(3.5-difluorobenzyl) hydroxy [(3-
iodobenzyl)atnino]propyl} methyl [(methylsulfonyl)aininol-1,3-oxazole
carboxamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl { (ethylsulfonyl)aminol-1.3-oxazole
carboxanude.
N- ffl S.2R) - 1-(3,5-difluorobenzyl) [(3-ethylbenzyl)a-rnino]
hydroxypropyl methyl [(methylsulfonyl)wnino]-1,3-oxazole carboxamide,
N1 - ffl S.2R) - 1 - (3.5-difluorobenzyl) hydroxy [(3 -
methoxybenzyl)amino]propyl}-N3-ethyl hydroxyisophthalamide,
N- {(1 S22R) - 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropy1} [(methylsulfonyl)amino] - 1,3-oxazole carboxainide,
N- {(1 S52R) - 1 - (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(ethylsulfonyl)amino]-1,3-oxazole carboxamide,
N- {(1 S92R)- 1 -(3 5-difluorobenzy1) [(3 -ethylbenzy1)amino] hydroxypropyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
NI - ffl S,2R) - 1 -(3,5-difluorobenzy1)-3 -[(3 -ethylbenzy1)amino]
hydroxypropyl \-N3-ethyl hydroxyisophthalamide,
N- {(1 S.2R) - 1-(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
N- ffl S,2R)-1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carboxamide.
N- ffl S,2R) - 1 - (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino] isoxazolecarboxamide,
NI-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino|propyl}-N3-ethyl hydroxyisoplithalamide,
N- ((1 S52R) - 1 - (3.5-difluorobenzyl) 3-[(3-ethylbenzyl)amino]
hydroxypropyl) [(methylsulfonyl)amino] isoxazolecarboxamide.
N- {(1 S, 2R) - 1 - (3, 5-difluorobenzyl) hydroxy-3 - [(3-
methoxybenzyl)amino]propyl} [(propylsulfonyl)amino]-1,3-oxazole
carbomnide
N- {(1 S 2R)- 1 -(3,5-difluorobenzyl) hydroxy {(3-
iodobenzyl)amino]propyl} (hydroxymethyl) [(methylsulfonyl)amino]-1,3-
oxazole carboxamide,
N3-(cvclopropyhnethyl)-N1 - {(1 S.2R)-1-(3.5-difluorobenzyl) hydroxy-3
[(3-iodobenzyl)amino]propyl} hydroxylsophthalamide,
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5-cyclopropyl-N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)alnino[propyl] [(methylsulfonyl)amino]-1,3-oxazole
carboxamide.
N- {(1 S92R) - 1 -(3.5-difluorobenzyl) -3 - [(3 -cthylbenzyl)amino]
hydroxypropyl} [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N- ffl S52R) - 1 -(3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} isopropyl [(methylsulfonyl)amino]-1,3-oxazole
carboxamide,
N3-(cyclopropyhnethyl)-NI - ffl S.2R)-1-(3.5-difluorobenzyl)-3-[(3-
ethylbenzyl)aminol hydroxypropyl} hydroxyisophthalamide,
N-[(1 S, 2R) - 1 - (315-difluorobenzyl) hydroxy (isopentylamino)propyl]
[(methylsulfonyl)aminol-1,3-oxazole carboxamide,
N- {(1 S,2R)- 1 -(3,5-difluorobenzy1)-3 -[(3 -ethylbenzy1)amino]
hydroxypropyl methyl [(propylsulfonyl)amino]-1,3-oxazole carboxamide,
N-[(1S,2R) (cyclopropylamino)-I-(3,5-difluorobenzyl) hydroxypropyl]-
2-[(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N-[(1 S,2R) [(3-ethylbenzyl)amino] hydroxy- 1 -(4-
hydroxybenzyl)propyl] [(methylsulfonyl)amino]-1,3-oxazole carboxamide,
N1 - ffl S.2R) - 1 - (3.5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl } hydroxy-N3-isobutylisophthalamide.
2- {(cyclopropylmethyl)sulfonyllamino} -N- {(I S,2R)- 1 -(3,5-
difluorobenzyl) [(3-ethylbenzyl)wnino] hydroxypropyl}-1,3-oxazole
carboxamide,
N1- {(1 S.2R) (3.5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} hydroxy- N3-isobutyl-N3-methylisophthalainide.
N- {(I S,2R) - P(3,5-difluorobenzy1)-3 -[(3-ethylbenzy1)ainino]
hydroxypropyl [(isobutylsulfonyl)amino]-1,3-oxazole carboxwnide,
N3-(cyclopropylmethyl)-N1 - {(1 S52R)- 1 -(3,5 -difluorobenzyl)-3 -[(3 -
ethylbenzyl)alninol hydroxypropyl} hydroxy-N3-methylisophthalwnide,
N- {(1 S,2R)-1 -(3,5-difluorobenzyl) hydroxy-3 -((3-
methoxybenzyl)amino|propyl}-2 [(isobutylsulfonyl)arpino]-1,3-oxazole
carboxamide,
N1 - ff1 S,2R) - 1-(3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl } hydroxy-N3-methyl-N3-propylisophthalamide,
N-{(15,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(isobutylsulfonyl)amino]-1,3-oxazole
carboxamide.
N1-{(IS,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)amino]propyl} hydroxy-N3-methyl-N3-propylisophtlialamide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(phenylsulfonyl)amino]-1,3-oxazole
carboxalnide,
N1-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
methoxybenzyl)aminolpropyl}-N3-ethyl hydroxy-N3-propylisophthalamide.
N-{(1S,2R)-I-(3,5-difluorobenzvl) hydroxy [(3-
iodobenzyl)amino]propyl} { [(4-methylphenyl)sulfonyl]amino}-1,3-oxazole
carbomnide.
N1-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropy1}-N3-ethyl hydroxy-N3-propylisophthalamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)wnino]
hydroxypropyl} {[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole
carboxamide.
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl [ (phenylsulfonyl) amino] -1,3-oxazole carboxamide,
N1-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)aininol
hydroxypropyl } hydroxy-N3, N3-dipropylisophthalamide.
N-{(1S.2R) (3.5-difluorobenzyl) [(3-ethylbenzyl)aminol
hydroxypropyl} [methyl(methylsulfonyl)aminol-1,3-oxazole carboxamide,
N1-{(1S,2R) (3,5-difluorobenzyl) hydroxy ((3-
methoxybenzyl)ainino[propyl] hydroxy-N3, N3-dipropylisophthalamide.
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino)propyl} [methyl(methylsulfonyl)aininol-1,3-oxazole
carboxamide,
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N1-« 1S, 2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino[propyl} hydroxy-N3, N3-dipropylisophthalainide,
N-{(1S,2R) (3,5-difluorobenzyl) hydroxy [(3-
iodobenzyl)amino]propyl} [(methylsulfonyl)amino]-1,3-thiazole
carboxamide,
N-{(IS.2R)-I-(3.5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(methylsulfonyl)amino]-1,3-thiazole carboxamide,
WO 02/02512 PCT/USO1/21012
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N- {(1 S92R) - 1 -(3 difluorobenzyl) -3 -[(3-ethylbenzyl)ainino]
hydroxypropy1} {[(1 -methyl- 1H-imidazol yl)sulfonyl]alnino}benzamide,
N- ffl S, 2R) - 1 - (3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} ({[5-(trifluoromethyl)pyridin
yl]sulfonyl alnino) benzamide,
3- {[(5-cyanopyridin yl)sulfonyl]ainino} -N- {(1 S,2R)- 1-(3 55-
difluorobenzyl) [(3-ethylbenzyl)amino] hydroxypropyl}benzarnide,
N- {(1 S.2R) - 1 -(3.5-difluorobenzyl) -3 - [(3 -cthylbenzyl)amino]
hydroxypropyl } [(phenylsulfonyl)amino]benzamide,
N-{(1S52R) (395-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl) ((methylsulfonyl)amino|benzamide,
N- {(1 S, 2R) - 1 - (3 5-difluorobenzyl) - 3 - [(3-ethylbenzyl)amino]
hydroxypropyl [ (ethylsulfonyl) amino] benzainide,
N- {(1 S52R) - 1 - (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl } [(propylsulfonyl)amino]benzamide,
N- ff1 S52R) - 1 -(3,5-difluorobenzy1) [(3 -ethylbenzy1)amino]
hydroxypropyl } [(isobutylsulfonyl)amino]benzainide,
N- \{(1 \text{ S52R}) - 1 - (3,5-\text{difluorobenzy1}) - 3 - [(3 - \text{ethylbenzyl}) \cdot \text{ainino}]\}
hydroxypropyl} [(isopropylsulfonyl)ainino]benzamide,
N- {(1 S, 2R) - 1 - (3 5-difluorobenzyl) - 3 - [(3-ethylbenzyl)amino]
hydroxypropyl { [(1 -ethylpropyl) sulfonyl] amino } benzamide,
3-[(cyclohexylsulfonyl)amino]-N- {(1 8,2R)-1 -(3,5 -difluorobenzyl)
[(3-
ethylbenzyl)amino] hydroxypropyl}benzwnide,
N- {(1 S, 2R) - 1 -(3 5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} {[(1 -propylbutyl)sulfonyl]wnino}benzainide,
N-
{(1 S, 2R) - 1 - (3,5-difluorobenzy1) -3 - [(3 -ethylbenzy1)amino]
hydroxypropyl [(thien ylsulfonyl)amino]benzamide,
N- f(1 S52R) - 1 - (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} [(2-furylsulfonyl)aminolbenzamide,
N- {(1 S.2R) - P(3.5-difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl [(isoxazol ylsulfonyl)amino]benzamide,
N- {(1 S52R)-1 -(3.5-difluorobenzv1)-3 -[(3-ethylbenzv1)amino]
hydroxypropyl [(isoxazol ylsulfonyl)amino]benzamide,
N- ffl S, 2R) - 1 - (3 5-difluorobenzy1) -3 - [(3 -ethylbenzy1) = ino]
hydroxypropyl) [(3-furylsulfonyl)amino]benzamide,
N- ffl S,2R)- 1 -(3 .5 -difluorobenzy1)-3 -[(3 -ethylbenzy1)amino]
hydroxypropyl) [(thien ylsulfonyl)amino)benzamide,
N- {(1 S52R)-1-(3 difluorobenzyl) [(3 -ethylbenzyl)amino]
hydroxypropyl [(1,3-thiazol ylsulfonyl)amino]benzamide,
N- ff1 S,2R) - 1 -(3 difluorobenzyl) -3 -[(3 -ethylbenzyl)amino]
hydroxypropyl) [(1,3-thiazol ylsulfonyl)amino]benzamide.
N- ffl S52R) - 1 - (3 difluorobenzyl) -3 - [(3 -ethylbenzyl)amino]
hydroxypropyl) ((1,3-thiazol vlsulfonyl)aininolbenzamide.
N'-[(I S,2R)-1-(3,5-difluorobenzyl)-2 hydroxy (isopentylamino)propyl]-
N 3 W-dipropyl {[(trifluoromethyl)sulfonyl] amino} isophthalamide.
N'-[(1S,2R) ainino-I-(3,5-difluorobenzyl) hydroxypropyll N3, N 3
dipropyl {[(trifluoromethyl)sulfonyl]amino} isophthalainide,
N'-[(1S,2R) amino-1-(3,5-difluorobenzyl) hydroxypropyl]
[(methylsulfonyl)aminol-N3,N 3-dipropylisophthalamide,
N'-[(1 S52R)-1 -(3,5-difluorobenzyl) hydroxy-3 -(&iexcl:
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sopentylamino)propyll
[(methylsulfonyl)ainino]-N 3N3-dipropylisophthalamide,
N' -(tert-butv1)-N3- ffl S,2R)- 1 -(3,5-difluorobenzy1) [(3-
ethylbenzyl)atnino| hydroxypropyl}isophthalamide,
N'-(tert-buty1)-N3- {(1 S,2R)-1 -(3,5-difluorobenzy1) [(3-
ethylbenzyl)atnino| hydroxypropyl} methylisophthalamide,
5-bromo-N1 -(tert-buty1)-N3- {(1 S,2R)-1 -(3,5-difluorobenzy1) [(3 -
ethylbenzyl)aminol hydroxypropyl}isophthalainide,
3-tert-butoxy-N- {(I S,2R)-1-(3,5-difluorobenzyl)
[(3-ethylbenzyl)amino']-
2-hydroxypropy1}benzamide,
3-tert-butoxy-N- {(I S,2R)-1 -(3,5-difluorobenzyl) [(3-
ethylbenzyl)alnino] -
2-hydroxypropyl} methylbenzamide,
1 N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)amino]
hydroxypropyl} { [(trifluorom]thyl)sulfonyl]amino}benzamide,
N-{(1S,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)ainino]
hydroxypropyl } (trifluoromethoxy)benzamide, and
N-{(15,2R) (3,5-difluorobenzyl) [(3-ethylbenzyl)wnino]
hydroxypropyl | methyl (trifluoromethoxy) benzamide.
218. A method for inhibiting beta-secretase activity, comprising
exposing said beta-
secretase to an effective inhibitory amount of a compound of formula (X)
OH
RN
N CH NH
H cl RC
R, R2 R3
where R1, R2, R3, RN and Rc are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof
219. The method of claim, 218, wherein said beta-secretase is exposed to
said
compound in vitro.
220. The method of claim. 218, wherein said beta-secretase is exposed to
said
compound in a cell.
221. The method of claim 220, wherein said cell is in an animal.
222. The method of claim 22 1, wherein said animal is a human.
223. A method for inhibiting cleavage of amyloid precursor protein
(APP), in a
reaction mixture, at a site between Met596 and Asp597, numbered for the
APP-695
amino acid isotype; or at a corresponding site of an isotype or mutant
thereof,
comprising exposing said reaction mixture to an effective inhibitory
amount of a
compound of formula (X)
OH
RN
N CH NH
H cl RC
R, R2 R3
where RI, R2, R3, RN and Rc are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof
224. The method of claim 223, whercin said cleavage site is between
Met652 and
Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672,
numbered for the APP-770 isotype; between Leu596 and Asp597 of the
APP-695
Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish
Mutation; or between Leu671 and Asp672 of the APP-770 Swedish Mutation.
225. The method of claim 223, wherein said reaction mixture is exposed
in vitro.
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226. The method of claim. 223, whercin said reaction mixture is exposed
in a cell.
227. The method of claim 226, wherein said cell is an animal cell.
1.5
228. The method of claim 227, wherein said cell is a human cell.
229. A method for inhibiting production of arnyloid beta peptide (A
beta) in a cell.
comprising administering to said cell an effective inhibitory amount of
a compound
of formula (X)
OH
RN
N CH NH
H/ d Rc
P. R2 R3
where R1, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof.
230. The method of claim 229, wherein, said administering is to an
23 1. The method of claim 230, whercin said administering is to a human.
232. A method for inhibiting the production of beta-amyloid plaque in an
animal.
comprising administering to said animal an effective inhibitory amount
compound of formula (X)
OH
RN
N CH NH
H C H c Rc
1 R2 R3
where RI, R2, R3, RN and Rc are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof
0 233. The method of claim. 232, wherein said animal is a human.
234. A method for treating or preventing a disease characterized by
beta-amyloid
deposits in the brain comprising administering to a patient an effective
therapeutic
amount of a compound of forinula (X) '
OH
RN
N CH NH
H c] Rc
R, R2 R3
where Ri, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof
235. The method of claim. 234, whercin said therapeutic amount is in the
range of
from about 0. 1 to about 1 000 mg/day.
236. The method of claim. 234, wherein said thercapeutic amount is in
the range of
from about 15 to about 1500 mg/day.
. The method of claim 237, wherein said thereapeutic amount is in the
range of
5 from about 5 to about 50 mg/day.
239. The method of claim 234, wherein said discase is Alzheimer's
disease.
240. The method of claim 234, wherein said discase is Mild Cognitive
Impainuent,
Down's Syndrome, or Hereditary Cerebral Heinmorrhage with Amyloidosis of
Dutch Type.
241. A composition comprising beta-secretase complexed with a compound
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of

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fonnula (X)
OH
RN
N CH NH
H C H c RC
1 5 1 R2 R3
where RI, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof.
242. A method for producing a beta-secretase complex comprising:
exposing beta-
secretase, in a reaction mixWre under conditions suitable for the
production of said
complex, to a compound of fonnula (X)
OH
RN
N CH NH
\/ %]]]]1/\(X)
H CH c Rc
P. R2 R3
where R1, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof
243. The method of claim 242, where said exposing &iexcl:S in vitro.
244. The method of claim 242, wherein said reaction mixture is a cell.
245. A kit comprising component parts capable of being assembled,
wherein, at least
one component part comprises, enclosed in a container, a compound of
formula (X)
OH
RN
N CH NH
H C C RC
R. R2 R3
where R1, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof
246. The kit of claim 245, wherein said compound is lyophilized and at
least one
Rirther component part comprises a diluent.
247. A kit comprising a plurality of containers, each container
comprising one or
more unit dose of a compound of formula (X)
OH
PN
N CH NH
HCCRC
1 R2 R3
where R1, R2, R3, RN and RC are as defined in claim. 1,
or a pharmaceutically acceptable salt thereof.
248. The kit of claim 247, wherein each container is adapted for oral
delivery and
comprises a tablet, gel, or capsule.
249. The kit of claim 248, wherein each container is adapted for
parenternal
delivery and comprises a depot product, syringe, ampoule, or vial.
250. The kit of claim 248, wherein each container is adapted for topical
and comprises a patch, medipad, ointment, or cream.
25 1. A kit comprising one or more therapeutic agent selected from the
group
consisting of an antioxidant, an anti-inflamatory, a gamma secretase
inhibitor, a
 neurotrophic agent, an acetylcholinesterase inhibitor, a
statin, an A beta peptide,
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```
0 and an anti-A beta antibody; and
a compound of formula (X)
ОН
RN
N CH NH
HCCRC
R, R2 R3
where Rl, R2, R3, RN and RC are as defined in claim 1,
5 or a pharmaceutically acceptable salt thereof
252. A composition comprising an inert diluent or edible carrier; and
a compound of fonnula (X)
0 H
RN
NCHNH
HCCRC
R. R2 R3
where Ri, R2, R3, RN and Rc are as defined in claim 1,
or a pharmaceutically acceptable salt thereof
253. The composition of claim 252, wherein said carrier is an oil.
254. A composition comprising a binder, excipient, disintegrating agent,
lubricant.
or gildant; and
a compound of fonnula (X)
OH
RN
N CH NH
H cl Rc
P. R2 R3
where RI, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof.
255. A composition comprising a compound of fon-nula (X)
OH
RN
N CH NH
H C C Rc
R. R2 R3
where RI, R2, R3, RN and Rc are as defined in claim 1,
or a phannaceutically acceptable salt thereof,
and where the compound is disposed in a cream, ointment, or patch.
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```
»> s (aminosalicylic acid) and (BDNF or neurotrophic or neurotrophin#)
           247 (AMINOSALICYLIC ACID) AND (BDNF OR NEUROTROPHIC OR NEUROTROPHIN#
               ١
=> s (aminosalicylic acid) (240A) (BDNF or neurotrophic or neurotrophin#)
             2 (AMINOSALICYLIC ACID) (240A) (BDNF OR NEUROTROPHIC OR NEUROTROPH
=> D 1-2
    ANSWER 1 OF 2 USPATFULL on STN
T.13
AN
       2006:160063 USPATFULL
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       Method for inhibition of necrosis induced by neurotrophin
TM
       Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
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       US 2006135600
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                           A1 20040120 (10)
       WO 2004-KR119
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PRAI
       KR 2003-3765
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LN.CNT 919
INCL
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              A61K0031-355 [I,A]; A61K0031-352 [I,C*]
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L13
       ANSWER 2 OF 2
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                                   COPYRIGHT 2008 Univentio on STN
AN
       2004064844 PCTFULL ED 20040816 EW 200432
TIEN
       METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
TIFR
       METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
IN
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-810, KR [KR, KR];
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR];
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Inqye-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do 442-736, KR [KR, KR]
PA
       NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
       Gyeonggi-do 442-821, KR [KR, KR], for all designates States except US;
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-810, KR [KR, KR], for US only;
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Inqye-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR], for US only;
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-070, KR [KR, KR], for US only;
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-qu, Suwon-si, Gyeongqi-do 442-736, KR [KR, KR]
AC
       LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-qu,
       Seoul 137-876, KR
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       English
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L15 ANSWER 1 OF 8 USPATFULL on STN
       2007:341133 USPATFULL
       Compounds and compositions for treating neuronal death or neurological
       dysfunction
       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
       Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
       Ko, Sun Young, Suwon-si, KOREA, REPUBLIC OF
       Son, Sun Joo, Suwon-si, KOREA, REPUBLIC OF
       Park, Sun Mi, Seoul, KORRA, REPUBLIC OF
       Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF,
       443-821 (non-U.S. corporation)
       US 20070298129
                          A1 20071227
       US 2007-804588
                          A1
                              20070518 (11)
       Continuation-in-part of Ser. No. US 2006-503379, filed on 11 Aug 2006.
      ABANDONED
       KR 2005-78028
                          20050824
      US 2006-780245P
                          20060308 (60)
      Utility
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LN.CNT 2465
INCL
       INCLM: 424/722.000
       INCLS: 514/567.000; 562/453.000
      NCLM: 424/722.000
      NCLS: 514/567.000; 562/453.000
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PRAI

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IN

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RLI

PRAI

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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L15 ANSWER 2 OF 8 USPATFULL on STN
       2007:56619 USPATFULL
AN
TI
       Combination of cell necrosis inhibitor and lithium for treating neuronal
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       Gwag, Byoung Joo, Suwon-si, KOREA, REPUBLIC OF
IN
       Lee, Young Ae, Suwon-si, KOREA, REPUBLIC OF
       Shin, Jin Hee, Seoul, KOREA, REPUBLIC OF
       Cho, Sung Ig, Seoul, KOREA, REPUBLIC OF
       Noh, Jae Sung, Anyang-si, KOREA, REPUBLIC OF
       Cho, Jae Young, Suwon-si, KOREA, REPUBLIC OF
       Kim, Ki Won, Jeonju-si, KOREA, REPUBLIC OF
       Lim, Hyang Ran, Seoul, KOREA, REPUBLIC OF
       Lee, Jae Keun, Seoul, KOREA, REPUBLIC OF
       Byun, Han Yeol, Seongnam-si, KOREA, REPUBLIC OF
PA
       Neurotech Pharmaceuticals Co., Ltd., Suwon-si, KOREA, REPUBLIC OF
       (non-U.S. corporation)
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L15 ANSWER 3 OF 8 USPATFULL on STN
       2006:160063 USPATFULL
AN
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       Method for inhibition of necrosis induced by neurotrophin
       Gwag, Byoung-Joo, Suwon-si, KOREA, REPUBLIC OF
TN
       Yoon, Sung-Hwa, Suwon-si, JAPAN
       Kim, Sun-Hee, Suwon-si, JAPAN
       Won, Seok-Joon, Suwon-si, JAPAN
       US 2006135600
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L15 ANSWER 4 OF 8 USPATFULL on STN
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       Hagmann, William K., Westfield, NJ, UNITED STATES
TN
       Lin, Linus S., Westfield, NJ, UNITED STATES
       Shah, Shrenik K., Metuchen, NJ, UNITED STATES
       Goulet, Mark T., Westfield, NJ, UNITED STATES
       Jewell, James P., Jersey City, NJ, UNITED STATES
       Merck & Co., Inc., Rahway, NJ, UNITED STATES, 07065-0907 (U.S.
PA
       corporation)
       US 20050239828
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       US 2003-507864
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L15 ANSWER 5 OF 8 USPATFULL on STN
AN
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IN
       Hagmann, William K., Westfield, NJ, UNITED STATES
       Lin, Linus S., Westfield, NJ, UNITED STATES
       Shah, Shrenik K., Metuchen, NJ, UNITED STATES
       Guthikonda, Ravindra N., Edison, NJ, UNITED STATES
       Qi, Hongbo, Edison, NJ, UNITED STATES
       Chang, Linda L., Wayne, NJ, UNITED STATES
       Liu, Ping, Edison, NJ, UNITED STATES
       Armstrong, Helen M., Westfield, NJ, UNITED STATES
       Jewell, James P., Jersey City, NJ, UNITED STATES
      Lanza, Thomas J. JR., Edison, NJ, UNITED STATES
PT
      US 20050234061
                         A1 20051020
      US 2005-109076
ΑI
                         A1 20050419 (11)
RLI
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US 2002-363597P

Utility

DT

20020312 (60)

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       Hagmann, William K., Westfield, NJ, UNITED STATES
       Lin, Linus S., Westfield, NJ, UNITED STATES
       Shah, Shrenik K., Metuchen, NJ, UNITED STATES
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                          A1 20050714
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       WO 2003-US9800
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       US 2002-370553P
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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
L15 ANSWER 7 OF 8 USPATFULL on STN
       2004:77041 USPATFULL
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       Hagmann, William K., Westfield, NJ, UNITED STATES
       Lin, Linus S., Westfield, NJ, UNITED STATES
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                           A1 20040325
       US 20040058820
       US 6972295
                           B2 20051206
       US 2003-387265
                          A1 20030312 (10)
       US 2002-428351P
                           20021122 (60)
       US 2002-363597P
                          20020312 (60)
       Utility
       APPLICATION
TN CNT 10591
       INCLM: 504/254.000
       INCLS: 504/260.000; 504/280.000; 504/279.000; 504/330.000; 504/336.000;
              546/298.000; 548/318.100; 548/367.100; 564/048.000; 564/170.000
       NCLM:
              514/345.000; 504/254.000
              546/290.000; 504/260.000; 504/279.000; 504/280.000; 504/330.000;
       NCLS:
              504/336.000: 546/298.000: 548/318.100: 548/367.100: 564/048.000:
              564/170.000
       ICM
              A01N047-28
       ICS
              A01N043-40; A01N043-50; A01N043-56; C07D213-78; C07D233-80;
              C07D231-36
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              A01N0043-50 [ICS,7]; A01N0043-56 [ICS,7]; A01N0043-48 [ICS,7,C*];
              C07D0213-78 [ICS,7]; C07D0213-00 [ICS,7,C*]; C07D0233-80 [ICS,7];
              C07D0233-00 [ICS,7,C*]; C07D0231-36 [ICS,7]; C07D0231-00
              [ICS,7,C*]
       IPCI-2 A61K0031-4412 [ICM,7]; C07D0213-70 [ICS,7]; C07D0213-00
              [ICS, 7, C*]
              C07C0233-00 [I,C*]; C07C0233-13 [I,A]; C07C0235-00 [I,C*];
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C07C0235-06 [I,A]; C07C0235-20 [I,A]; C07C0235-34 [I,A];
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              C07C0255-60 [I,A]; C07C0271-00 [I,C*]; C07C0271-14 [I,A];
              C07C0271-22 [I,A]; C07C0275-00 [I,C*]; C07C0275-30 [I,A];
              C07C0311-00 [I,C*]; C07C0311-03 [I,A]; C07D0209-00 [I,C*];
              C07D0209-34 [I,A]; C07D0209-94 [I,A]; C07D0211-00 [I,C*];
              C07D0211-34 [I,A]; C07D0213-00 [I,C*]; C07D0213-64 [I,A];
              C07D0213-65 [I.A]: C07D0213-68 [I.A]: C07D0215-00 [I.C*];
              C07D0215-06 [I.A]: C07D0231-00 [I.C*]: C07D0231-12 [I.A];
              C07D0233-00 [I,C*]; C07D0233-70 [I,A]; C07D0233-80 [I,A];
              C07D0237-00 [I,C*]: C07D0237-28 [I,A]: C07D0237-32 [I,A];
              C07D0239-00 [I,C*]; C07D0239-34 [I,A]; C07D0249-00 [I,C*];
              C07D0249-04 [I,A]; C07D0249-08 [I,A]; C07D0249-12 [I,A];
              C07D0263-00 [I,C*]; C07D0263-58 [I,A]; C07D0267-00 [I,C*];
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              C07D0277-36 [I,A]; C07D0295-00 [I,C*]; C07D0295-13 [T,A];
              C07D0295-15 [I,A]; C07D0513-00 [I,C*]; C07D0513-04 [I,A];
              C07D0521-00 [I,C*]: C07D0521-00 [I,A]
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
                                    COPYRIGHT 2008 Univentio on STN
       ANSWER 8 OF 8
                          PCTFULL
       2004064844 PCTFULL ED 20040816 EW 200432
       METHOD FOR INHIBITION OF NECROSIS INDUCED BY NEUROTROPHIN
       METHODE D'INHIBITION DE NECROSE INDUITE PAR LA NEUROTROPHINE
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do_442-810, KR [KR, KR];
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-762, KR [KR, KR];
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do_442-070, KR [KR, KR];
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong, Paldal-gu, Suwon-si, Gyeonggi-do_442-736, KR [KR, KR]
       NEUROTECH CO., LTD., 29-35, Woncheon-dong, Paldal-gu, Suwon-si,
Gyeonggi-do_442-821, KR [KR, KR], for all designates States except US;
       YOON, Sung-Hwa, #321-602 Samik Apt., 957-6 Yeongtong-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-810, KR [KR, KR], for US only;
       KIM, Sun-Hee, 3-1303 Sunkyung 1-cha Apt., Inqye-dong, Paldal-qu,
       Suwon-si, Gyeonggi-do 442-762, KR [KR, KR], for US only;
       WON, Seok-Joon, #3-1303 Sunkyung 1-cha Apt., Ingye-dong, Paldal-gu,
       Suwon-si, Gyeonggi-do 442-070, KR [KR, KR], for US only;
       GWAG, Byoung-Joo, #729-1001 Hyundai Apt., Salgugol, Yeongtong-dong,
       Paldal-gu, Suwon-si, Gyeonggi-do 442-736, KR [KR, KR]
       LEE, Sang-Yong, 4F., Byukcheon Bldg., 1597-5, Seocho-dong, Seocho-gu,
       Seoul 137-876, KR
       Korean
       English
       Patent
       WO 2004064844
                             A1 20040805
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                     FI GE GH HU JP KE KG KP KZ LS MD MX MZ NI PH PL PT RU SK
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                     NL PT RO SE SI SK TR
       RW (OAPI):
                     BF BJ CP CG CI CM GA GN GO GW ML MR NE SN TD TG
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W-U:

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L15 ANSWER 4 OF 8 USPATFULL on STN

Suitable anti-obesity agents of use in combination with a compound of the present invention, include, but are not limited to: 1) growth hormone secretagogues, such as those disclosed and specifically described in U.S. Pat. No. 5,536,716; 2) growth hormone secretagogue receptor agonists/antagonists, such as NN703, hexarelin, MK-0677. SM-130686, CP-424,391, L-692,429 and L-163,255, and such as those disclosed in U.S. Pat. No. 6,358,951, U.S. Patent application Nos. 2002/049196 and 2002/022637, and PCT Application Nos. WO 01/56592 and WO 02/32888; 3) melanocortin agonists, such as Melanotan II or those described in WO 99/64002 and WO 00/74679; 4) Mc4r (melanocortin 4 receptor) agonists, such as CHIR86036 (Chiron), ME-10142, and ME-10145 (Melacure), and those disclosed in PCT Application Nos. WO 01/991752, WO 01/74844, WO 02/12166, WO 02/11715, and WO 02/12178; 5) β-3 agonists, such as AD9677/TAK677 (Dainippon/Takeda), CL-316,243, SB 418790, BRL-37344, L-796568, BMS-196085, BRL-35135A, CGP12177A, BTA-243, Trecadrine, Zeneca D7114, SR 59119A, and such as those disclosed in U.S. Pat. Nos. 5,705,515, and 5,451,677 and PCT Patent Publications WO94/18161, WO95/29159, WO97/46556, WO98/04526 and WO98/32753, WO 01/74782, and WO 02/32897; 6) 5HT-2 agonists; 7) 5HT2C (serotonin receptor 2C) agonists, such as BVT933, DPCA37215, WAY161503, R-1065, and those disclosed in U.S. Pat. No. 3,914,250, and PCT Application Nos. WO 02/36596, WO 02/48124, WO 02/10169, WO 01/66548, WO 02/44152, WO $02/51844,\ WO\ 02/40456,\ and\ WO\ 02/40457,\ 8)$ or exin antagonists, such as SB-334867-A, and those disclosed in PCT Patent Application Nos. WO 01/96302, WO 01/68609, WO 02/51232, WO 02/51838 and WO 02/090355; 9) melanin concentrating hormone antagonists; 10) melanin-concentrating hormone 1 receptor (MCHIR) antagonists, such as T-226296 (Takeda), and those disclosed in PCT Patent Application Nos. WO 01/82925, WO 01/87834, WO 02/06245, WO 02/04433, WO 02/51809 and WO 02/083134, and Japanese Patent Application No. JP 13226269; 11) melanin-concentrating hormone 2 receptor (MCH2R) agonist/antagonists; 12) galanin antagonists; 13) CCK agonists: 14) CCK-A (cholecystokinin-A) agonists, such as AR-R 15849, GI 181771, JMV-180, A-71378, A-71 623 and SR146131, and those discribed in U.S. Pat. No. 5,739,106; 15) GLP-1 agonists; 16) corticotropin-releasing hormone agonists; 17) NPY 5 antagonists, such as GW-569180A, GW-594884A, GW-587081X, GW-548118X, FR226928, FR 240662, FR252384, 1229U91, GI-264879A, CGP71683A, LY-377897, PD-160170, SR-120562A, SR-120819A and JCF-104, and those disclosed in U.S. Pat. Nos. 6.140.354, 6.191.160, 6,313,298, 6,337,332, 6,329,395, 6,326,375, 6,335,345, and 6,340,683, European Patent Nos. EP-01010691, and EP-01044970, and PCT Patent Publication Nos. WO 97/19682, WO 97/20820, WO 97/20821, WO 97/20822, WO 97/20823, WO 98/27063, WO 00/64880, WO 00/68197, WO 00/69849, WO 01/09120, WO 01/14376, WO 01/85714, WO 01/85730, WO 01/07409, WO 01/02379, WO 01/02379, WO 01/23388, WO 01/23389, WO 01/44201, WO 01/62737, WO 01/62738, WO 01/09120, WO 02/22592, WO 0248152, and WO 02/49648; 18) NPY 1 antagonists, such as BIBP3226, J-115814, BIBO 3304, LY-357897, CP-671906, GI-264879A, and those disclosed in U.S. Pat. No. 6,001,836, and PCT Patent Publication Nos. WO 96/14307, WO 01/23387, WO 99/51600, WO 01/85690, WO 01/85098, WO 01/85173, and WO 01/89528; 19) histamine receptor-3 (H3) modulators; 20) histamine receptor-3 (H3) antagonists/inverse agonists, such as hioperamide, 3-(1H-imidazol4yl)propyl N-(4-pentenyl)carbamate, clobenpropit, iodophenpropit, imoproxifan, GT2394 (Gliatech), and those described and disclosed in PCT Application No. WO 02/15905, and O-(3-(1H-imidazol-4-vl)propanol)-

carbamates (Kiec-Kononowicz, K. et al., Pharmazie, 55:349-55 (2000)), piperidine-containing histamine H3-receptor antagonists (Lazewska, D. et al., Pharmazie, 56:927-32 (2001), benzophenone derivatives and related compounds (Sasse, A. et al., Arch. Pharm. (Weinheim) 334:45-52 (2001)), substituted N-phenylcarbamates (Reidemeister, S. et al., Pharmazie, 55:83-6 (2000)), and proxifan derivatives (Sasse, A. et al., J. Med. Chem. 43:3335-43 (2000)): 21) B-hydroxy steroid dehydrogenase-1 inhibitors (β-HSD-1); 22) PDE (phosphodiesterase) inhibitors, such as theophylline, pentoxifylline, zaprinast, sildenafil, amrinone. milrinone, cilostamide, rolipram, and cilomilast; 23) phosphodiesterase-3B (PDE3B) inhibitors; 24) NE (norepinephrine) transport inhibitors, such as GW 320659, despiramine, talsupram, and nomifensine; 25) non-selective serotonin/norepinephrine transport inhibitors, such as sibutramine or fenfluramine; 26) ghrelin antagonists, such as those disclosed in PCT Application Nos. WO 01/87335, and WO 02/08250; 27) leptin, including recombinant human leptin (PEG-OB, Hoffman La Roche) and recombinant methionyl human leptin (Amgen); 28) leptin derivatives, such as those disclosed in U.S. Pat. Nos. 5,552,524, 5,552,523, 5,552,522, 5,521,283, and PCT International Publication Nos. WO 96/23513, WO 96/23514, WO 96/23515, WO 96/23516, WO 96/23517, WO 96/23518, WO 96/23519, and WO 96/23520; 29) BRS3 (bombesin receptor subtype 3) agonists; 30) CNTF (Ciliary neurotrophic factors), such as GI-181771 (Glaxo-SmithKline), SR146131 (Sanofi Synthelabo), butabindide, PD170,292, and PD 149164 (Pfizer); 31) CNT derivatives, such as axokine (Regeneron), and those disclosed in PCT Application Nos. WO 94/09134, WO 98/22128, and WO 99/43813; 32) monoamine reuptake inhibitors, such as those disclosed in PCT Application Nos. WO 01/27068, and WO 01/62341; 33) UCP-1 (uncoupling protein-1), 2, or 3 activators, such as phytanic acid, 4-[(E)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-napthalenyl)-1propenyl]benzoic acid (17NPB), retinoic acid, and those disclosed in PCT Patent Application No. WO 99/00123; 34) thyroid hormone β agonists, such as KB-2611 (KaroBioBMS), and those disclosed in PCT Application No. WO 02/15845, and Japanese Patent Application No. JP 2000256190; 35) FAS (fatty acid synthase) inhibitors, such as Cerulenin and C.sub.75; 36) DGAT1 (diacylglycerol acyltransferase 1) inhibitors; 37) DGAT2 (diacylglycerol acyltransferase 2) inhibitors; 38) ACC.sub.2 (acetyl-CoA carboxvlase-2) inhibitors: 39) glucocorticoid antagonists: 40) acyl-estrogens, such as oleoyl-estrone, disclosed in del Mar-Grasa, M. et al., Obesity Research, 9:202-9 (2001); 41) lipase inhibitors, such as orlistat (Xenical®), Triton WR1339, RHC.sub.80267, lipstatin, tetrahydrolipstatin, teasaponin, diethylumbelliferyl phosphate, and those disclosed in PCT Application No. WO 01/77094; 42) fatty acid transporter inhibitors; 43) dicarboxylate transporter inhibitors; 44) glucose transporter inhibitors; 45) phosphate transporter inhibitors; 46) serotonin reuptake inhibitors, such as those disclosed in U.S. Pat. No. 6,365,633, and PCT Patent Application Nos. WO 01/27060, and WO 01/162341: 47) Metformin (Glucophage®): and/or 48) Topiramate

(Topimax*).
Suitable anti-asthmatic agents of use in combination with a compound of the present invention include, but are not limited to: (a) VLA-4 antagonists such as natalizumab and the compounds described in U.S. Pat. No. 5,510,332, W097/03094, W097/02289, W096/40781, W096/22966, W096/02016, W096/01644, W096/06108, W095/15973 and W096/31206; (b) steroids and corticosteroids such as beclomethasone, methylprednisolone, betamethasone, prednisone, dexamethasone, and hydrocortisone; (c) antihistamines (Hi-histamine antagonists) such as bromopheniramine, chlorpheniramine, dexchlorpheniramine, triprolidine, clemastine, diphenhydramine, diphenhydramine, trippelennamine, hydroxyzine, methdilazine, promethazine, trimeprazine, azatadine, cyproheptadine, antazoline, pheniramine pyrilamine, astemizole, terfenadine, loratadine, desloratadine, cetirizine, fexofenadine, descarboethoxyloratadine, and the like; (d) non-steroidal anti-asthmatics including 82-agonists

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(such as terbutaline, metaproterenol, fenoterol, isoetharine, albuterol, bitolterol, salmeterol, epinephrine, and pirbuterol), theophylline, cromolyn sodium, atropine, ipratropium bromide, leukotriene antagonists (such as zafirlukast, montelukast, pranlukast, iralukast, pobilukast, and SKB-106.203), and leukotriene biosynthesis inhibitors (such as zileuton and BAY-1005); (e) anti-cholinergic agents including muscarinic antagonists (such as ipratropium bromide and atropine); (f) antagonists of the chemokine receptors, especially CCR-1, CCR-2, and CCR-3; (g) immunosuppressants such as cyclosporin, tacrolimus, rapamycin and other FK-506 type immunosuppressants; (h) non-steroidal antiinflammatory agents (NSAIDs) such as propionic acid derivatives (alminoprofen, benoxaprofen, bucloxic acid, carprofen, fenbufen, fenoprofen, fluprofen, flurbiprofen, ibuprofen, indoprofen, ketoprofen, miroprofen, naproxen, oxaprozin, pirprofen, pranoprofen, suprofen, tiaprofenic acid, and tioxaprofen), acetic acid derivatives (indomethacin, acemetacin, alclofenac, clidanac, diclofenac, fenclofenac, fenclozic acid, fentiazac, furofenac, ibufenac, isoxepac, oxpinac, sulindac, tiopinac, tolmetin, zidometacin, and zomepirac), fenamic acid derivatives (flufenamic acid, meclofenamic acid, mefenamic acid, niflumic acid and tolfenamic acid), biphenylcarboxylic acid derivatives (diflunisal and flufenisal), oxicams (isoxicam, piroxicam, sudoxicam and tenoxican), salicylates (acetyl salicylic acid, sulfasalazine) and the pyrazolones (apazone, bezpiperylon, feprazone, mofebutazone, oxyphenbutazone, phenylbutazone); (i) cyclooxygenase-2 (COX-2) inhibitors such as celecoxib; (j) anti-diabetic agents such as insulin, sulfonylureas, biguanides (metformin), a-glucosidase inhibitors (acarbose) and glitazones (troglitazone, pioglitazone, englitazone, MCC-555, BRL49653 and the like); (k) preparations of interferon beta (interferon beta-la, interferon beta-1b); (1) other compounds such as 5aminosalicylic acid and prodrugs thereof, and

pharmaceutically acceptable salts thereof. DETD To a solution of 3-pyridylacetone hydrochloride (Wibaud, van der V. Recl. Trav. Chim. Pays-Bas. 1952, 71, 798) (10 g, 58 mmol) and 4-chlorobenzyl chloride (9.1 g, 58 mmol) in 100 mL of methylene chloride at -78° C. was added cesium hydroxide monohydrate (39 g, 0.23 mol) and tetrabutyl ammonium iodide (1 g). The reaction was allowed to warm to room temperature overnight, and the resulting mixture was partitioned between brine (100 mL) and ethyl acetate (100 mL). The organic layer was separated and the aqueous layer extracted with ethyl acetate (2+100 mL). The combined organic extracts were dried over anhydrous magnesium sulfate, filtered, and concentrated to dryness to give the title compound. .sup.1H NMR (500 MHz, CD.sub.30D): 8 8.42 (d, lH), 8.34 (d, lH), 7.72 (d, lH), 7.40 (dd, lH), 7.18 (d, 2H), 7.06 (d, 1H) (dd, 1H), 3.38 (dd, 1H), 2.95 (dd, 1H), 2.10 (s, 3H). LC-MS: m/e 260 (M+H) sup.+ (1.9 min)

L15 ANSWER 7 OF 8 USPATFULL on STN

SUMM

[1384] Suitable anti-obesity agents of use in combination with a compound of the present invention, include, but are not limited to: 1) growth hormone secretagogues, such as those disclosed and specifically described in U.S. Pat. No. 5,536,716; 2) growth hormone secretagogue receptor agonists/antagonists, such as NN703, hexarelin, MK-0677, SM-130686, CP-424,391, L-692,429 and L-163,255, and such as those disclosed in U.S. Pat. No. 6,358,951, U.S. Patent Application Nos. 2002/049196 and 2002/022637, and PCT Application Nos. WO 01/56592 and WO 02/32888; 3) melanocortin agonists, such as Melanotan II or those described in WO 99/64002 and WO 00/74679; 4) Mc4r (melanocortin 4 receptor) agonists, such as CHIR86036 (Chiron), ME-10142, and ME-10145 (Melacure), and those disclosed in PCT Application Nos. WO 01/991752, WO 01/74844, WO 02/12166, WO 02/11715, and WO 02/12178; 5) β-3 agonists, such as AD9677/TAK677 (Dainippon/Takeda), CL-316,243, SB 418790, BRL-37344, L-796568, BMS-196085, BRL-35135A, CGP12177A, BTA-243, Trecadrine, Zeneca D7114, SR 59119A, and such as those disclosed in U.S.

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Pat. No. 5,705,515, and U.S. Pat. No. 5,451,677 and PCT Patent
Publications W094/18161, W095/29159, W097/46556, W098/04526 and
W098/32753, WO 01/74782, and WO 02/32897; 6) 5HT-2 agonists; 7) 5HT2C
(serotonin receptor 2C) agonists, such as BVT933, DPCA37215, WAY161503,
R-1065, and those disclosed in U.S. Pat. No. 3,914,250, and PCT
Application Nos. WO 02/36596, WO 02/48124, WO 02/10169, WO 01/66548, WO
02/44152, WO 02/51844, WO 02/40456, and WO 02/40457; 8) orexin
antagonists, such as SB-334867-A, and those disclosed in PCT Patent
Application Nos. WO 01/96302, WO 01/68609, WO 02/51232, and WO 02/51838;
9) melanin concentrating hormone antagonists: 10) melanin-concentrating
hormone 1 receptor (MCH1R) antagonists, such as T-226296 (Takeda), and
those disclosed in PCT Patent Application Nos. WO 01/82925, WO 01/87834,
WO 02/06245, WO 02/04433, and WO 02/51809, and Japanese Patent
Application No. JP 13226269; 11) melanin-concentrating hormone 2
receptor (MCH2R) agonist/antagonists; 12) galanin antagonists; 13) CCK
agonists; 14) CCK-A (cholecystokinin-A) agonists, such as AR-R 15849, GI
181771, JMV-180, A-71378, A-71623 and SR146131, and those discribed in
U.S. Pat. No. 5,739,106; 15) GLP-1 agonists; 16) corticotropin-releasing
hormone agonists; 17) NPY 5 antagonists, such as GW-569180A, GW-594884A,
GW-587081X, GW-548118X, FR226928, FR 240662, FR252384, 1229U91,
GI-264879A, CGP71683A, LY-377897, PD-160170, SR-120562A, SR-120819A and
JCF-104, and those disclosed in U.S. Pat. Nos. 6,140,354, 6,191,160,
6,313,298, 6,337,332, 6,329,395, 6,326,375, 6,335,345, and 6,340,683,
European Patent Nos. EP-01010691, and EP-01044970, and PCT Patent
Publication Nos. WO 97/19682, WO 97/20820, WO 97/20821, WO 97/20822, WO
97/20823, WO 98/27063, WO 00/64880, WO 00/68197, WO 00/69849, WO
01/09120, WO 01/14376, WO 01/85714, WO 01/85730, WO 01/07409, WO 01/0379, WO 01/02379, WO 01/23388, WO 01/23389, WO 01/4201, WO 01/62737, WO 01/6273
02/49648; 18) NPY 1 antagonists, such as BIBP3226, J-115814, BIBO 3304,
LY-357897, CP-671906, GI-264879A, and those disclosed in U.S. Pat. No.
6,001,836, and PCT Patent Publication Nos. WO 96/14307, WO 01/23387, WO
99/51600, WO 01/85690, WO 01/85098, WO 01/85173, and WO 01/89528; 19)
histamine receptor-3 (H3) modulators; 20) histamine receptor-3 (H3)
antagonists/inverse agonists, such as hipperamide, 3-(1H-imidazol-4-
vl)propyl N-(4-pentenyl)carbamate, clobenpropit, iodophenpropit,
imoproxifan, GT2394 (Gliatech), and those described and disclosed in PCT
Application No. WO 02/15905, and O-[3-(1H-imidazol-4-yl)propanol]-
carbamates (Kiec-Kononowicz, K. et al., Pharmazie, 55:349-55 (2000)),
piperidine-containing histamine H3-receptor antagonists (Lazewska, D. et
al., Pharmazie, 56:927-32 (2001), benzophenone derivatives and related
compounds (Sasse, A. et al., Arch. Pharm. (Weinheim) 334:45-52 (2001)),
substituted N-phenylcarbamates (Reidemeister, S. et al., Pharmazie,
55:83-6 (2000)), and proxifan derivatives (Sasse, A. et al., J. Med.
Chem. 43:3335-43 (2000)); 21) \beta-hydroxy steroid dehydrogenase-1
inhibitors (β-HSD-1); 22) PDE (phosphodiesterase) inhibitors, such
as theophylline, pentoxifylline, zaprinast, sildenafil, amrinone,
milrinone, cilostamide, rolipram, and cilomilast; 23)
phosphodiesterase-3B (PDE3B) inhibitors; 24) NE (norepinephrine)
transport inhibitors, such as GW 320659, despiramine, talsupram, and
nomifensine; 25) non-selective serotonin/norepinephrine transport
inhibitors, such as sibutramine or fenfluramine; 26) ghrelin
antagonists, such as those disclosed in PCT Application Nos. WO
01/87335, and WO 02/08250; 27) leptin, including recombinant human
leptin (PEG-OB, Hoffman La Roche) and recombinant methionyl human leptin
(Amgen); 28) leptin derivatives, such as those disclosed in U.S. Pat.
Nos. 5,552,524, 5,552,523, 5,552,522, 5,521,283, and PCT International
Publication Nos. WO 96/23513, WO 96/23514, WO 96/23515, WO 96/23516, WO
96/23517, WO 96/23518, WO 96/23519, and WO 96/23520; 29) BRS3 (bombesin
receptor subtype 3) agonists; 30) CNTF (Ciliary neurotrophic
factors), such as GI-181771 (Glaxo-SmithKline), SR146131 (Sanofi
Synthelabo), butabindide, PD170,292, and PD 149164 (Pfizer); 31) CNTF
derivatives, such as axokine (Regeneron), and those disclosed in PCT
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Application Nos. WO 94/09134, WO 98/22128, and WO 99/43813; 32) monoamine reuptake inhibitors, such as those disclosed in PCT Application Nos. WO 01/27068, and WO 01/62341; 33) UCP-1 (uncoupling protein-1), 2, or 3 activators, such as phytanic acid, 4-((E)-2-(5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-napthalenyl)-1propenyl]benzoic acid (TTNPB), retinoic acid, and those disclosed in PCT Patent Application No. WO 99/00123; 34) thyroid hormone β agonists, such as KB-2611 (KaroBioBMS), and those disclosed in PCT Application No. WO 02/15845, and Japanese Patent Application No. JP 2000256190; 35) FAS (fatty acid synthase) inhibitors, such as Cerulenin and C75; 36) DGAT1 (diacylglycerol acyltransferase 1) inhibitors; 37) DGAT2 (diacylglycerol acyltransferase 2) inhibitors; 38) ACC2 (acetyl-CoA carboxylase-2) inhibitors; 39) glucocorticoid antagonists; 40) acyl-estrogens, such as oleoyl-estrone, disclosed in del Mar-Grasa, M. et al., Obesity Research, 9:202-9 (2001); 41) lipase inhibitors, such as orlistat (Xenical®), Triton WR1339, RHC80267, lipstatin, tetrahydrolipstatin, teasaponin, diethylumbelliferyl phosphate, and those disclosed in PCT Application No. WO 01/77094; 42) fatty acid transporter inhibitors; 43) dicarboxylate transporter inhibitors; 44) glucose transporter inhibitors; 45) phosphate transporter inhibitors; 46) serotonin reuptake inhibitors, such as those disclosed in U.S. Pat. No. 6,365,633, and PCT Patent Application Nos. WO 01/27060, and WO 01/162341: 47) Metformin (Glucophage®); and/or 48) Topiramate (Topimax®).

SUMM

[1464] Suitable anti-asthmatic agents of use in combination with a compound of the present invention include, but are not limited to: (a) VLA-4 antagonists such as natalizumab and the compounds described in U.S. Pat. No. 5,510,332, W097/03094, W097/02289, W096/40781, W096/22966, W096/20216, W096/01644, W096/06108, W095/15973 and W096/31206; (b) steroids and corticosteroids such as beclomethasone, methylprednisolone, betamethasone, prednisone, dexamethasone, and hydrocortisone; (c) antihistamines (H1-histamine antagonists) such as bromopheniramine, chlorpheniramine, dexchlorpheniramine, triprolidine, clemastine, diphenhydramine, diphenylpyraline, tripelennamine, hydroxyzine, methdilazine, promethazine, trimeprazine, azatadine, cyproheptadine, antazoline, pheniramine pyrilamine, astemizole, terfenadine, loratadine, desloratadine, cetirizine, fexofenadine, descarboethoxyloratadine, and the like; (d) non-steroidal anti-asthmatics including \$2-agonists (such as terbutaline, metaproterenol, fenoterol, isoetharine, albuterol, bitolterol, salmeterol, epinephrine, and pirbuterol), theophylline, cromolyn sodium, atropine, ipratropium bromide, leukotriene antagonists (such as zafirlukast, montelukast, pranlukast, iralukast, pobilukast, and SKB-106,203), and leukotriene biosynthesis inhibitors (such as zileuton and BAY-1005); (e) anti-cholinergic agents including muscarinic antagonists (such as ipratropium bromide and atropine); (f) antagonists of the chemokine receptors, especially CCR-1, CCR-2, and CCR-3; (g) immunosuppressants such as cyclosporin, tacrolimus, rapamycin and other FK-506 type immunosuppressants; (h) non-steroidal antiinflammatory agents (NSAIDs) such as propionic acid derivatives (alminoprofen, benoxaprofen, bucloxic acid, carprofen, fenbufen, fenoprofen, fluprofen, flurbiprofen, ibuprofen, indoprofen, ketoprofen, miroprofen, naproxen, exaprozin, pirprofen, pranoprofen, suprofen, tiaprofenic acid, and tioxaprofen), acetic acid derivatives (indomethacin, acemetacin, alclofenac, clidanac, diclofenac, fenclofenac, fenclozic acid, fentiazac, furofenac, ibufenac, isoxepac, oxpinac, sulindac, tiopinac, tolmetin, zidometacin, and zomepirac), fenamic acid derivatives (flufenamic acid, meclofenamic acid, mefenamic acid, niflumic acid and tolfenamic acid), biphenylcarboxylic acid derivatives (diflunisal and flufenisal), oxicams (isoxicam, piroxicam, sudoxicam and tenoxican), salicylates (acetyl salicylic acid, sulfasalazine) and the pyrazolones (apazone, bezpiperylon, feprazone, mofebutazone, oxyphenbutazone, phenylbutazone); (i) cyclooxygenase-2 (COX-2) inhibitors such as celecoxib; (i) anti-diabetic agents such as insulin, sulfonvlureas.

biguanides (metformin), a-glucosidase inhibitors (acarbose) and glitazones (troglitazone, pioglitazone, englitazone, MCC-555, BRL49653 and the like); (k) preparations of interferon bead (interferon beta-la, interferon beta-lb); (l) other compounds such as 5-aminosalicylic acid and prodrugs thereof, and pharmaceutically acceptable salts thereof.

DETD [1579] To a solution of 3-pyridylacetone hydrochloride (Wibaud, van der V. Recl. Trav. Chim. Pays-Bas. 1952, 71, 798) (10 g, 58 mmol) and 4-chlorobenzyl.chloride (9.1 g, 58 mmol) in 100 mL CH.sub.2CJ.sub.2 at -78° C. was added cesium hydroxide monohydrate (39 g, 0.23 mol) and tetrabutyl ammonium iodide (1 g). The reaction was allowed to warm to room temperature overnight, and the resulting mixture was partitioned between brine (100 mL) and EtOAc (100 mL). The organic layer was separated and the aqueous layer extracted with EtOAc (2+100 mL). The combined organic extracts were dried over anhydrous MgSO.sub.4, filtered, and concentrated to dryness to give the title compound.

sup. 1H NMR (500 MHz, CD.sub.30D): 8 8.42 (d, 1H), 8.34 (d, 1H), 7.72 (d, 1H), 7.40 (dd, 1H), 7.18 (d, 2H), 7.06 (d, 1H), 4.23 (dd, 1H), 3.38 (dd, 1H), 2.95 (dd, 1H), 2.10 (s, 3H). LC-MS: m/e 260 (M+H).sup.+ (1.9 min).